9/724,941

L Number	Hits	Search Text	DB	Time stamp
1	1337	((514/266.3) or (514/266.2) or (514/266.31) or (544/287) or (544/284)).CCLS.	USPAT; US-PGPUB	2003/12/23 17:14
2	0	(((514/266.3) or (514/266.2) or (514/266.31) or (544/287) or (544/284)).CCLS.) with amino	USPAT; US-PGPUB	2003/12/23 17:15
3	1031	(((514/266.3) or (514/266.2) or (514/266.31) or (544/287) or (544/284)).CCLS.) and amino	USPAT; US-PGPUB	2003/12/23 17:15
4	418	((((514/266.3) or (514/266.2) or (514/266.31) or (544/287) or (544/284)).CCLS.) and amino) and (quinazolin or quinazolinone)	USPAT; US-PGPUB	2003/12/23 17:15

09/ 724,941

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NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	SEP 09	CA/CAplus records now contain indexing from 1907 to the present
NEWS 4	AUG 05	New pricing for EUROPATFULL and PCTFULL effective August 1, 2003
NEWS 5	AUG 13	Field Availability (/FA) field enhanced in BEILSTEIN
NEWS 6	AUG 18	Data available for download as a PDF in RDISCLOSURE
NEWS 7	AUG 18	Simultaneous left and right truncation added to PASCAL
NEWS 8	AUG 18	FROSTI and KOSMET enhanced with Simultaneous Left and Righ Truncation
NEWS 9	AUG 18	Simultaneous left and right truncation added to ANABSTR
NEWS 10	SEP 22	DIPPR file reloaded
NEWS 11	DEC 08	INPADOC: Legal Status data reloaded
NEWS 12	SEP 29	DISSABS now available on STN
NEWS 13	OCT 10	PCTFULL: Two new display fields added
NEWS 14	OCT 21	BIOSIS file reloaded and enhanced
NEWS 15	OCT 28	BIOSIS file segment of TOXCENTER reloaded and enhanced
NEWS 16	NOV 24	MSDS-CCOHS file reloaded
NEWS 17	DEC 08	CABA reloaded with left truncation
NEWS 18	DEC 08	IMS file names changed
NEWS 19	DEC 09	Experimental property data collected by CAS now available in REGISTRY
NEWS 20	DEC 09	STN Entry Date available for display in REGISTRY and CA/CAplus
NEWS 21	DEC 17	DGENE: Two new display fields added
NEWS 22	DEC 18	BIOTECHNO no longer updated
NEWS 23	DEC 19	CROPU no longer updated; subscriber discount no longer available
NEWS 24	DEC 22	Additional INPI reactions and pre-1907 documents added to CAS databases
NEWS 25	DEC 22	IFIPAT/IFIUDB/IFICDB reloaded with new data and search fields
NEWS 26	DEC 22	ABI-INFORM now available on STN

NEWS EXPRESS	NOVEMBER 14 CURRENT WINDOWS VERSION IS V6.01c, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 23 SEPTEMBER 2003
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  * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 11:11:15 ON 23 DEC 2003

=> file reg
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                         0.21       0.21

FILE 'REGISTRY' ENTERED AT 11:11:27 ON 23 DEC 2003
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STRUCTURE FILE UPDATES:   22 DEC 2003  HIGHEST RN 630045-65-7
DICTIONARY FILE UPDATES:  22 DEC 2003  HIGHEST RN 630045-65-7

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

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to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

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L1      STRUCTURE UPLOADED

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L1 HAS NO ANSWERS
L1                STR
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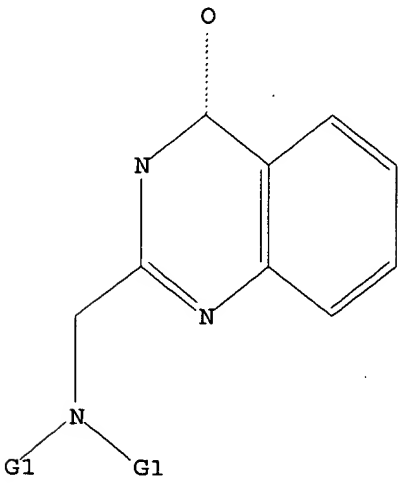


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G1 Cy,Ak

Structure attributes must be viewed using STN Express query preparation.
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=> s l1 ful
FULL SEARCH INITIATED 11:12:05 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -  46230 TO ITERATE

100.0% PROCESSED   46230 ITERATIONS                          34310 ANSWERS
SEARCH TIME: 00.00.11

L2        34310 SEA SSS FUL L1

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COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   148.55     148.76

FILE 'CAPLUS' ENTERED AT 11:12:28 ON 23 DEC 2003
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FILE COVERS 1907 - 23 Dec 2003  VOL 139 ISS 26
FILE LAST UPDATED: 22 Dec 2003  (20031222/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.

=> s l2
L3          54 L2

=> d l3 1- ibib abs fhitstr
YOU HAVE REQUESTED DATA FROM 54 ANSWERS - CONTINUE? Y/(N):y

L3   ANSWER 1 OF 54  CAPLUS  COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER:        2003:931177  CAPLUS
TITLE:                   2-[1-(Imidazol-1-yl)alkyl]-3H-quinazolin-4-one
                         derivatives, pharmaceutical compositions containing
                         them, and methods of their use as KSP kinesin
                         inhibitors for the treatment of cellular proliferative
                         diseases
INVENTOR(S):             Feng, Bainian; Bergnes, Gustave; Morgans, David J. C.,
                         Jr.; Dhanak, Dashyant; Knight, Steven David; Darcy,
                         Michael Gerard
PATENT ASSIGNEE(S):      Cytokinetics, Inc., USA; Smithkline Beecham
                         Corporation
SOURCE:                  PCT Int. Appl., 97 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:
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PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003097053	A1	20031127	WO 2003-US14787	20030508

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-379531P P 20020509

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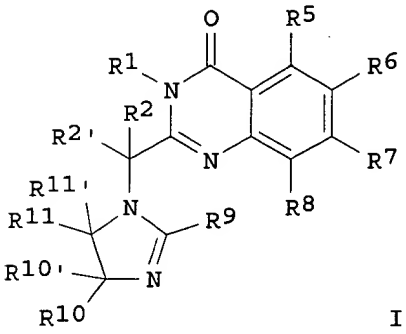

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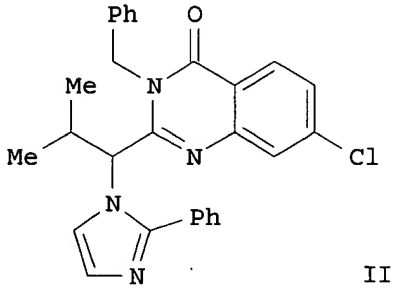


II

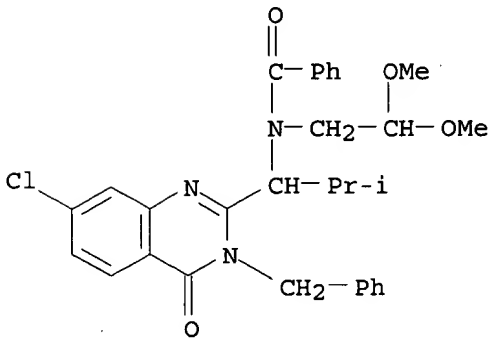
AB Compds. useful for treating cellular proliferative diseases and disorders by modulating the activity of KSP (kinesin-like spindle protein), and esp. human KSP, are disclosed (no data). In particular, compds. I are claimed [wherein: R1 = H, (un)substituted alkyl, aryl, aralkyl, heteroaryl, or heteroaralkyl; R2, R2' = H, (un)substituted alkyl, aryl, aralkyl, heteroaryl, or heteroaralkyl; or R2R2' = (un)substituted 3- to 7-membered ring; R5, R6, R7, R8 = H, (un)substituted alkyl or alkoxy, halo, OH, NO2, cyano, dialkylamino, alkylsulfonyl, alkylsulfonamido, alkylthio, carboxyalkyl, carboxamido, aminocarbonyl, (un)substituted aryl, aryloxy, heteroaryl, or heteroaryloxy; R9 = H, (un)substituted alkyl, aryl, aralkyl, or heteroaryl; R10, R10', R11, R11' = H, (un)substituted alkyl, aryl, or aralkyl; or R10'R11' = pi bond; including single and mixed stereoisomers and pharmaceutically acceptable salts and/or solvates]. Approx. 60 compds. I are described in examples. Compds. I having (R)-configuration at the stereogenic center bearing R2 are preferred for reasons of greater potency than the (S)-isomers. For instance, 2-(1-amino-2-methylpropyl)-3-benzyl-7-chloro-3H-quinazolin-4-one underwent a sequence of N-alkylation at amino with BrCH2CH(OMe)2 and K2CO3 (59%), amidation of the resultant secondary amine with PhCOCl and Et3N (54%), and deprotection/cyclocondensation with NH4OAc in refluxing AcOH (23%) to give invention compd. II. Compds. I are said to be active against human ovarian cancer cells SKOV3 in vitro. Visual inspection revealed that the compds. caused cell cycle arrest in the prometaphase stage of mitosis; DNA was condensed and spindle formation had initiated, but arrested cells uniformly displayed monopolar spindles, indicating that there was an inhibition of spindle pole body sepn.

IT **627891-89-8P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of (imidazolylalkyl)quinazolinone derivs. as KSP kinesin inhibitors for the treatment of cellular proliferative diseases)

RN 627891-89-8 CAPLUS

CN Benzamide, N-[1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]-2-methylpropyl]-N-(2,2-dimethoxyethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 54 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:930975 CAPLUS

DOCUMENT NUMBER: 139:395945

TITLE: Preparation of quinazolinylmethyl urea derivatives as fungal efflux pump inhibitors

INVENTOR(S): Watkins, Will J.; Lemoine, Remy; Cho, Aesop; Palme, Monica

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 109 pp., Cont.-in-part of U.S. Ser. No. 906,864.
CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003220338	A1	20031127	US 2002-243074	20020912
US 6596723	B1	20030722	US 2001-906864	20010716
US 2003229097	A1	20031211	US 2002-334755	20021230

PRIORITY APPLN. INFO.: US 2001-906864 A2 20010716
US 2002-243074 A2 20020912

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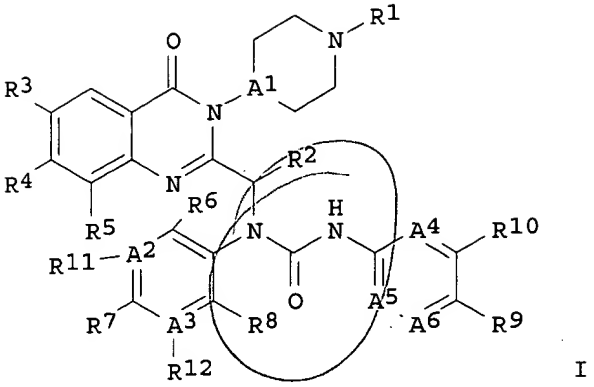

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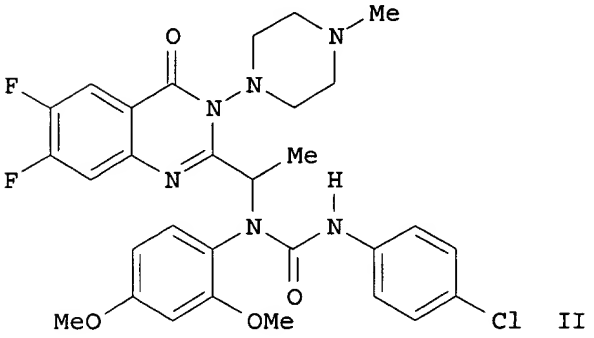


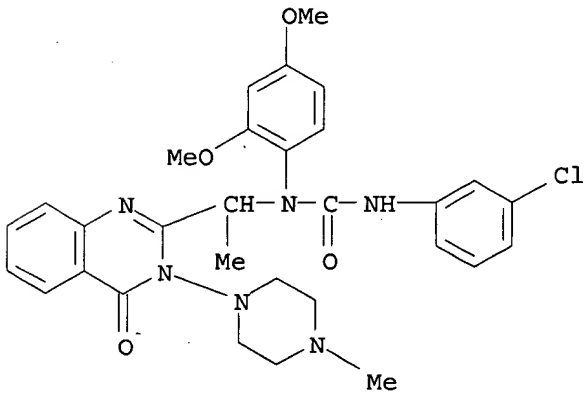
II

AB This invention relates to compds. of formula I [A1-A6 = C, N; R1 = H, alkyl, cycloalkyl, CH2-cycloalkyl, etc.; R2 = alkyl; R3-R12 = H, alkyl, CF3, alkoxy, halo, OH, CN, etc.] that are efflux pump inhibitors and therefore are useful as potentiators of anti-fungal agents for the treatment of infections caused by fungi that employ an efflux pump resistance mechanism. Thus, II was prepd. and showed a reduced MIC value against Candida albicans in the presence of fluconazole.

IT **562835-78-3P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of quinazolinylmethyl urea derivs. as fungal efflux pump inhibitors)

RN 562835-78-3 CAPLUS

CN Urea, N'-(3-chlorophenyl)-N-[1-[3,4-dihydro-3-(4-methyl-1-piperazinyl)-4-oxo-2-quinazolinyl]ethyl]-N-(2,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)



L3 ANSWER 3 OF 54 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2003:818293 CAPLUS
DOCUMENT NUMBER: 139:302058
TITLE: ABCA-1 gene expression-elevating compounds for promoting cholesterol efflux and increasing HDL levels, and therapeutic use thereof
INVENTOR(S): Ibrahim, Prabha; Campbell, Michael; Jiang, Robert; Morrison, Christopher; Shenk, Kevin; Shirley, William; Zablocki, Jeff; Koltun, Dmitry; Natero, Reina
PATENT ASSIGNEE(S): CV Therapeutics, Inc., USA
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

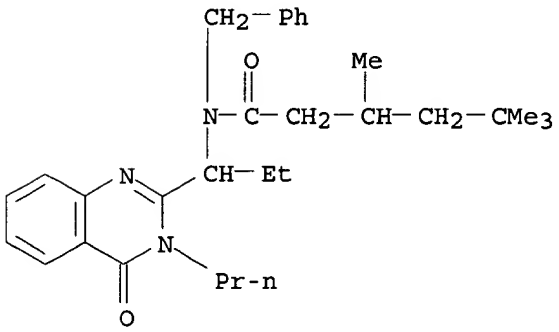
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003084544	A2	20031016	WO 2003-US10359	20030404
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003220356	A1	20031127	US 2003-407875	20030404

PRIORITY APPLN. INFO.: US 2002-370122P P 20020404
OTHER SOURCE(S): MARPAT 139:302058

AB The invention provides compds. that elevate cellular expression of the ABCA-1 gene, promoting cholesterol efflux from cells and increasing HDL levels in the plasma of a mammal, in particular humans. The compds. are useful for treating e.g. atherosclerosis or coronary artery disease.

IT **292087-06-0**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ABCA-1 gene expression-elevating compds. for promoting cholesterol efflux and increasing HDL levels, and therapeutic use)

RN 292087-06-0 CAPLUS
CN Hexanamide, N-[1-(3,4-dihydro-4-oxo-3-propyl-2-quinazolinyl)propyl]-3,5,5-trimethyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

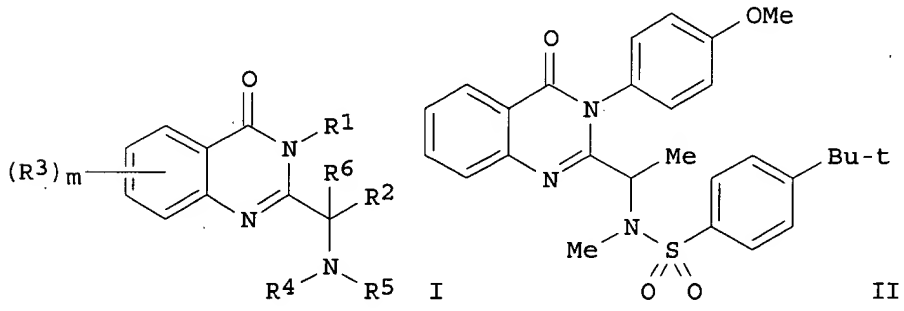


L3 ANSWER 4 OF 54 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2003:737738 CAPLUS
DOCUMENT NUMBER: 139:261313
TITLE: Quinazolinone amide compounds as modulators of nuclear receptors, particularly farnesoid X receptor (FXR) and/or orphan nuclear receptors, and their preparation, pharmaceutical compositions, and methods of use
INVENTOR(S): Martin, Richard; Kahl, Jeffery Dean; Flatt, Brenton Todd; Griffith, Ronald
PATENT ASSIGNEE(S): X-Ceptor Therapeutics, Inc., USA
SOURCE: PCT Int. Appl., 204 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003076418	A1	20030918	WO 2003-US6793	20030304

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-363132P P 20020307
OTHER SOURCE(S): MARPAT 139:261313
GI



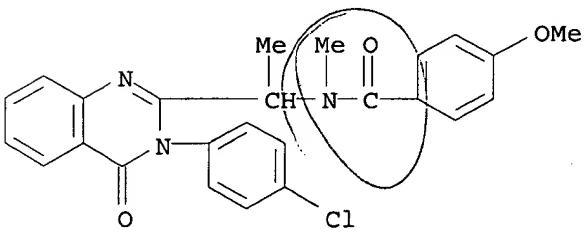
AB Compds., pharmaceutical compns., and methods for modulating the activity of nuclear receptors are provided. In particular, amide-contg. quinazolinones are provided for modulating the activity of farnesoid X receptor (FXR) and/or orphan nuclear receptors. The disclosed compds. include I [m = 0-4; R1 = H, (un)substituted alk(en/yn)yl, (hetero)aryl, cycloalkyl(alkyl), (hetero)aralkyl, heterocyclyl(alkyl) (preceding groups designated as group A), OH or derivs., NH2 or derivs.; R2, R6 = (independently) group A, or R2R6 = (un)substituted alkylene; R4, R5 = (independently) group A, OH or derivs, NH2 or derivs., various acyl,

sulfinyl, sulfonyl, or phosphoryl groups, etc.; or R4R5 (un)substituted alkylene, alkenylene, alkenylene(oxy/aza)alkenylene; or any of R2R5, R2R4, R5R6, or R4R6 form 4- to 7-membered, (un)substituted heteroaryl or heterocyclyl group; R3 = (independently) halo, pseudohalo, group A, NH2 or derivs., OH or derivs., SH or derivs., various acyl, thioacyl, imidoyl, sulfinyl, or sulfonyl groups; or adjacent R3R3 = (un)substituted alkylene, alkenylene, alkylenedioxy, thioalkylenoxy, alkylenedithioxy; including stereoisomers, racemates, mixts., and pharmaceutically acceptable derivs.; with one exception compd.]. Over 300 specific compds. were prepd. and claimed by name. Ten of the most preferred compds. are named. The compds. are useful for treating diseases and disorders selected from: hypercholesterolemia, hyperlipoproteinemia, hypertriglyceridemia, lipodystrophy, hyperglycemia, diabetes mellitus, dyslipidemia, atherosclerosis, gallstone disease, acne vulgaris, acneiform skin conditions, diabetes, Parkinson's disease, cancer, Alzheimer's disease, inflammation, immunol. disorders, lipid disorders, obesity, conditions characterized by a perturbed epidermal barrier function, hyperlipidemia, cholestasis, peripheral occlusive disease, ischemic stroke, obesity, disease states assocd. with elevated cholesterol levels, conditions of disturbed differentiation or excess proliferation of the epidermis or mucous membrane, and cardiovascular disorders. For instance, Me anthranilate was N-amidated with 2-chloropropionyl chloride (97%), followed by sapon. of the ester (97%), and amidation/cyclocondensation of the resultant acid using p-anisidine and PCl3 (72%), to give 2-(1-chloroethyl)-3-(4-methoxyphenyl)-3H-quinazolin-4-one. This intermediate chloride was aminated with methylamine in THF (99%), and the obtained secondary amine was sulfonylated with 4-tert-butylbenzenesulfonyl chloride and TEA in DCM (92%), to give preferred invention compd. II. In an FRET assay for binding to human FXR (ligand-binding domain, fused to glutathione-S-transferase), II had an EC50 of about 300 nM. In an FXR/ECREx7 co-transfection assay using African green monkey kidney cells, II had an efficacy of 190% relative to high control (chenodeoxycholic acid).

IT **334645-37-3P**, N-[1-[3-(4-Chlorophenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl]-4-methoxy-N-methylbenzamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of quinazolinone amides as farnesoid X and/or orphan nuclear receptor modulators)

RN 334645-37-3 CAPLUS

CN Benzamide, N-[1-[3-(4-chlorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-4-methoxy-N-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 54 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2003:678784 CAPLUS
DOCUMENT NUMBER: 139:214481
TITLE: Syntheses of enantiomerically pure quinazolinones
INVENTOR(S): Bergnes, Gustav; Ha, Edward; Yiannikourous, George;

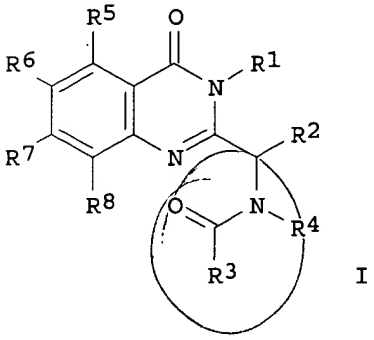
09/ 724,941

Kalaritis, Panos; Yonce, Brandon E.; Welday, Kurt Alan, Jr.
PATENT ASSIGNEE(S): Cytokinetics, Inc., USA; SmithKline Beecham Corp.
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003070701	A2	20030828	WO 2003-US4713	20030214
WO 2003070701	A3	20031016		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-357244P P 20020215
US 2002-380746P P 20020514
OTHER SOURCE(S): MARPAT 139:214481
GI



I

AB The present invention provides intermediates, synthetic methods and novel quinazolinone (shown as I; e.g. (R)-N-(3-aminopropyl)-N-[1-(3-benzyl-7-chloro-4-oxo-3,4-dihydroquinazolin-2-yl)-2-methylpropyl]-4-methylbenzamide) compns. of matter, which are inhibitors of the mitotic kinesin KSP (no data) and are useful in the treatment of cellular proliferative diseases, for example cancer, hyperplasias, restenosis, cardiac hypertrophy, immune disorders and inflammation (no data); only the compds., compns. of matter and synthetic methods are claimed. The method comprises contacting HO2CCH(R2)NHX (R2 = oxaalkyl or (un)substituted alkyl, aryl, alkylaryl, heteroaryl, or alkylheteroaryl; X = H, protecting group (e.g. Boc, CBZ, phthalide, allyloxycarbonyl, 2,2,2-trichloroethoxycarbonyl); e.g. valine) with iso-Bu chloroformate followed by contacting the resulting product with (un)substituted 2-aminobenzoic acids to give I. Eight example prepns. of I are included. For example, (S)-[1-(3-benzyl-7-chloro-4-oxo-3,4-dihydroquinazolin-2-yl)-2-methylpropyl]carbamic acid tert-Bu ester was prepd. starting from N-Boc-L-valine and involving intermediates 2-[[2-[(tert-

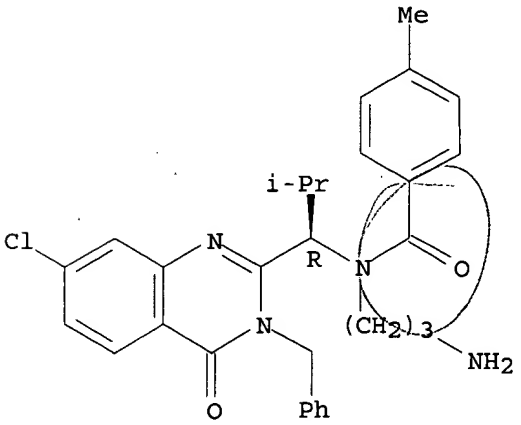
butoxycarbonyl)amino]-L-3-methylbutyryl]amino]-4-chlorobenzoic acid, (S)-[1-(7-chloro-4-oxo-4H-benzo[d][1,3]oxazin-2-yl)-2-methylpropyl]carbamic acid tert-Bu ester, (S)-[1-[[(2-benzylcarbamoyl-5-chlorophenyl)imino]methyl]-2-methylpropyl]carbamic acid tert-Bu ester (in mixt. with the final product). In the key step, to 2-[[2-[(tert-butoxycarbonyl)amino]-L-3-methylbutyryl]amino]-4-chlorobenzoic acid was added 13.2 mL (0.1 mol) of iso-Bu chloroformate over 15 min (internal temp. 5.degree.) followed by the addn. of 11.1 mL (0.1 mol) of anhyd. N-methylmorpholine over 15 min at 0.degree.; the mixt. was stirred for an addnl. hour at 0.degree. to give (S)-[1-(7-chloro-4-oxo-4H-benzo[d][1,3]oxazin-2-yl)-2-methylpropyl]carbamic acid tert-Bu ester. For I: R1 is H or (un)substituted alkyl, aryl, alkylaryl, heteroaryl, or alkylheteroaryl; R3 is H, oxaalkyl, R9O-, R9NH- or (un)substituted alkyl, aryl, alkylaryl, heteroaryl, alkylheteroaryl, or oxaalkylaryl; R4 is H or (un)substituted alkyl, aryl, alkylaryl, heteroaryl, or alkylheteroaryl; R5, R6, R7 and R8 = H, hydroxy, (un)substituted alkyl, alkoxy, halogen, fluoroalkyl, nitro, cyano, amino, alkylamino, dialkylamino, alkylsulfonyl, alkylsulfonamido, sulfonamidoalkyl, sulfonamidoaryl, alkylthio, carboxyalkyl, carboxamido, aminocarbonyl, aryl or heteroaryl; and R9 is (un)substituted alkyl, aryl, alkylaryl, heteroaryl, or alkylheteroaryl. The compns. of matter comprise I and detectable amts. of .gtoreq.1 unreacted starting materials and/or a cyclo-dehydration reagent; they are claimed, presumably because it is important to monitor the purity of pharmaceutical compds. for the presence of such materials, which presence comprises a way of detecting use of a process of the invention.

IT **336113-53-2P**, (R)-N-(3-Aminopropyl)-N-[1-(3-benzyl-7-chloro-4-oxo-3,4-dihydroquinazolin-2-yl)-2-methylpropyl]-4-methylbenzamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(syntheses of enantiomerically pure quinazolinones)

RN 336113-53-2 CAPLUS

CN Benzamide, N-(3-aminopropyl)-N-[(1R)-1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]-2-methylpropyl]-4-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 6 OF 54 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:563066 CAPLUS

DOCUMENT NUMBER: 139:117435

TITLE: Preparation of 3,4-dihydroquinazolin-4-one derivatives as fungal efflux pump inhibitors

INVENTOR(S): Watkins, Will J.; Lemoine, Remy; Cho, Aesop; Renau, Thomas E.

PATENT ASSIGNEE(S): Essential Therapeutics, Inc., USA

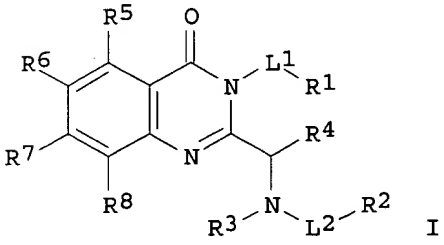
09/ 724,941

SOURCE: U.S., 29 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6596723	B1	20030722	US 2001-906864	20010716
US 2003220338	A1	20031127	US 2002-243074	20020912
US 2003229097	A1	20031211	US 2002-334755	20021230

PRIORITY APPLN. INFO.: US 2001-906864 A2 20010716
US 2002-243074 A2 20020912

OTHER SOURCE(S): MARPAT 139:117435
GI



I

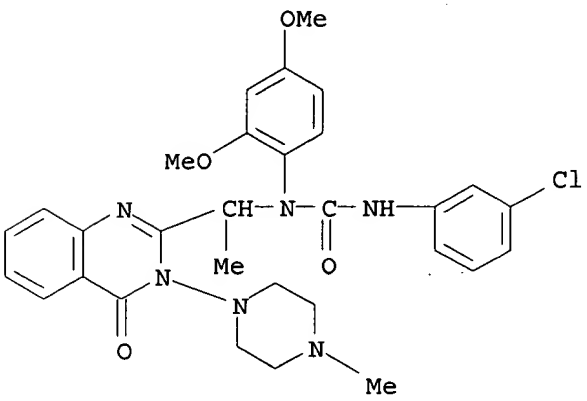
AB This invention relates to compds. represented by general formula [I; L1 = a single bond, C1-4 alkylene; R1 = (un)substituted C3-7 heteroalicyclic contg. 1 nitrogen atom and 0 to 2 addnl. heteroatoms independently selected from the group consisting of nitrogen, oxygen and sulfur, -Cx2NHC(:NH)Cx3, -Cx2NCx3C(:NH)Cx13, -Cx2NHC(:O)Cx3; L2 = CO, SO2, C(O)O, CONH, CONCx5, C(S)NH, C(S)NCx5, C(NH)NH, C(NH)NCx5, S(O)2NH, S(O)2NCx5; R2 = (un)substituted aryl, C1-4 alkyl; R3 = (un)substituted aryl; R4 = C1-4 alkyl; R5, R6, R7, R8 = H, halo, -Cx12, -OCx12, -O(Cx12)O-; Cx2, Cx3, Cx5, Cx12, and Cx13 are independent (C1-C4)alkyl; the abs. stereochem. of centers of asymmetry may be independently R or S] or, pharmaceutically acceptable salts thereof. These compds. are efflux pump inhibitors and therefore are useful as potentiators of anti-fungal agents for the treatment of infections caused by fungi that employ an efflux pump resistance mechanism. Thus, 3.0 g 2-amino-5-chlorobenzamide and 2.5 mL propionic anhydride were mixed and stirred at 90.degree. under nitrogen for 20 min, treated with aq. sodium hydroxide (2 M, 36 mL), and refluxed for 1 h to give 100% 6-chloro-2-ethyl-3,4-dihydroquinazolin-4-one (II). II (1.0 g) and 1.58 g N-(2-bromoethyl)phthalimide were dissolved in 50 mL DMF, treated with freshly crushed K2CO3, and stirred at 70.degree. for 24 h to give 36% 6-chloro-2-ethyl-3-(2-phthalimidoethyl)-3,4-dihydroquinazolin-4-one which (0.66 g) was brominated by Br in AcOH at 60.degree. for 2 h to give 69% 2-(1-bromoethyl)-6-chloro-3-(2-phthalimidoethyl)-3,4-dihydroquinazolin-4-one (III). III (0.71 g) and 0.26 g 2,4-dimethoxyaniline were dissolved in 20 mL DMF, treated with freshly crushed K2CO3, and stirred at 80.degree. for 16 h to give 2-[1-(3,4-dimethoxyphenyl)ethyl]-6-chloro-3-(2-phthalimidoethyl)-3,4-dihydroquinazolin-4-one which (0.46 g) was dissolved in 5 mL 1,2-dichloroethane, treated with 0.12 mL Ph isocyanate, and stirred at 40.degree. for 16 h to give 66% N-[1-[6-Chloro-3-[2-(1,3-dioxo-1,3-dihydroisoindol-2-yl)ethyl]-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl]-N-(2,4-dimethoxyphenyl)-N'-phenylurea (IV). IV showed MPC8 (concn. of efflux pump inhibitor necessary to reduce the fluconazole MIC 8-fold) of .ltoreq.0.03 .mu.g/mL against C. albicans vs. MIC (concn. of fluconazole

alone that causes a 80% inhibition the growth/proliferation of fungal cells) of 16 .mu.g/mL.

IT **562835-78-3P**, N'-(3-Chlorophenyl)-N-(2,4-dimethoxyphenyl)-N-[1-[3-(4-methylpiperazin-1-yl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl]urea
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 3,4-dihydroquinazolin-4-one derivs. as fungal efflux pump inhibitors and potentiators of antifungal agents for treating infections caused by fungi employing efflux pump resistance mechanism)

RN 562835-78-3 CAPLUS

CN Urea, N'-(3-chlorophenyl)-N-[1-[3,4-dihydro-3-(4-methyl-1-piperazinyl)-4-oxo-2-quinazolinyl]ethyl]-N-(2,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 7 OF 54 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2003:417728 CAPLUS
DOCUMENT NUMBER: 139:6884
TITLE: Process for the racemization of chiral quinazolinones
INVENTOR(S): Yao, Bing; Smith, Whitney W.; Bergnes, Gustave; Morgans, David, Jr.
PATENT ASSIGNEE(S): Cytokinetics, Inc., USA
SOURCE: PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003043995	A1	20030530	WO 2002-US37410	20021120
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003166933	A1	20030904	US 2002-300967	20021120

09/ 724,941

PRIORITY APPLN. INFO.: US 2001-332148P P 20011120
OTHER SOURCE(S): MARPAT 139:6884
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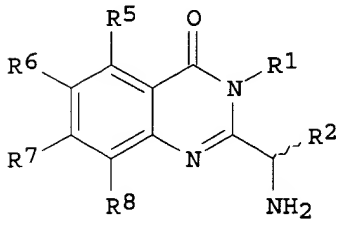

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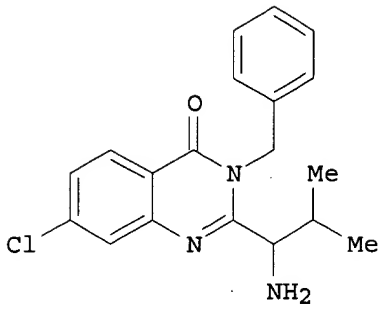


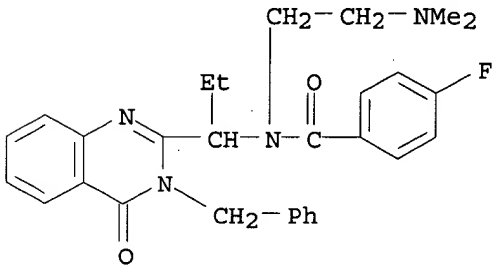
II

AB Racemates were obtained from one of the enantiomers, or an enantiomerically enriched mixt., of an optically active quinazolinone deriv. I [wherein R1 = H or (un)substituted alkyl, (hetero)aryl, or (hetero)aralkyl; R2 = oxaalkyl or (un)substituted alkyl, (hetero)aryl, or (hetero)aralkyl; R5-R8 = independently H, (fluoro)alkyl, alkoxy, halo, NO2, dialkylamino, alkylsulfonyl, alkylsulfamido(alkyl), sulfonamidoaryl, alkylthio, carboxyalkyl, carboxamido, aminocarbonyl, or (hetero)aryl] by reaction of the compd. with an alkali alkoxide of a primary alc. and isolation of the racemate. For example, treatment of (S)-II with NaOEt (21% by wt. soln. in denatured alc. contg. 5% toluene) in abs. EtOH and heating at reflux for 36 h, followed by crystn. gave (.+-.)-II in a 1:1.1 mixt. of (R)- and (S)-isomers. The invention also provides for the subsequent resoln. of the racemate and use of the other enantiomer in the synthesis of pharmacol. active therapeutic agents. Thus, an efficient method of converting an inactive or undesirable enantiomer into the other usable, desirable enantiomer is disclosed.

IT **336113-50-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. and racemization of chiral quinazolinones)

RN 336113-50-9 CAPLUS

CN Benzamide, N-[1-[3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]propyl]-N-[2-(dimethylamino)ethyl]-4-fluoro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 8 OF 54 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2003:417699 CAPLUS

09/ 724,941

DOCUMENT NUMBER: 139:6883
TITLE: Preparation of substituted quinazolines as modulators of Rho C activity
INVENTOR(S): Sun, Dongxu; Perkins, Edward L.; Tugendreich, Stuart
PATENT ASSIGNEE(S): Iconix Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003043961	A2	20030530	WO 2002-US37292	20021119
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003171387	A1	20030911	US 2002-300651	20021119

PRIORITY APPLN. INFO.: US 2001-331755P P 20011119
OTHER SOURCE(S): MARPAT 139:6883
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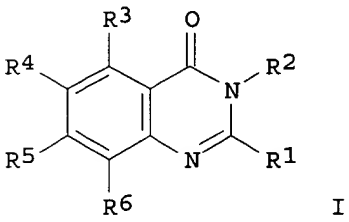


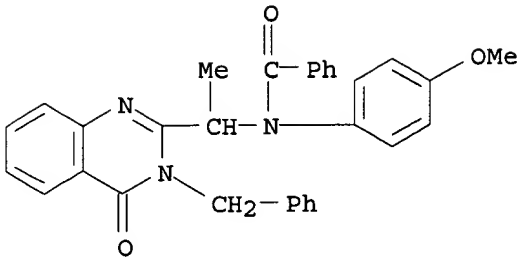
I

AB Title compds. I [R1 = H, alkyl, aralkyl, aryl-alkenyl, etc.; R2 = alkyl, aryl, aralkyl, etc.; R3-6 = H, alkyl, halo, NO2, OH, alkoxy, etc.] are claimed. Several examples were said to have excellent potency in a Rho C enzyme assay [no data]. I are able to modulate the activity of a Rho C enzyme.

IT **531525-74-3P**, 2-[1-[N-Benzoyl-N-[4-methoxyphenyl]amino]ethyl]-3-benzylquinazolin-4-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 2-sulfanyl benzothiazolyl modulators of Rho C activity)

RN 531525-74-3 CAPLUS

CN Benzamide, N-[1-[3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]ethyl]-N-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



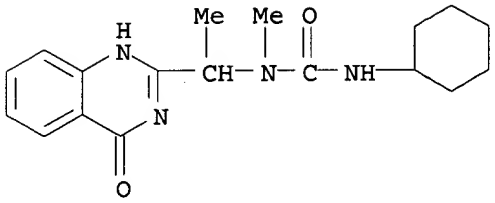
L3 ANSWER 9 OF 54 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2003:202479 CAPLUS
DOCUMENT NUMBER: 138:231712
TITLE: Compositions and methods of treatment of cancer
INVENTOR(S): Bamdad, Cynthia C.
PATENT ASSIGNEE(S): Minerva Biotechnologies Corporation, USA
SOURCE: PCT Int. Appl., 87 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003020279	A2	20030313	WO 2002-US28576	20020905
WO 2003020279	A3	20031023		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003130293	A1	20030710	US 2002-237150	20020905

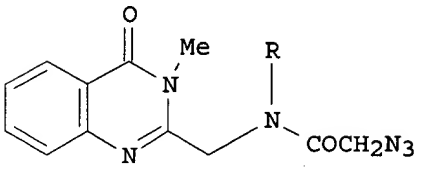
PRIORITY APPLN. INFO.: US 2001-317302P P 20010905
US 2002-376732P P 20020501
OTHER SOURCE(S): MARPAT 138:231712

AB This invention generally relates to compns. and methods for cancer treatment and, in particular, to compns. able to interact (e.g.,bind to) with MUC1 growth factor receptor or its ligands, and methods for treating the same. The invention also relates to assays or use of such compns. for the treatment of patients susceptible to or exhibiting symptoms characteristic of cancer or tumorigenesis. Other compns. of the present invention useful for the treatment or prevention of cancer or tumorigenesis include homologs, analogs, derivs., enantiomers or functional equiv. The present compns. can also be packaged in kits in some cases.

IT **288376-58-9**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. and methods of treatment of cancer)
RN 288376-58-9 CAPLUS
CN Urea, N'-cyclohexyl-N-[1-(1,4-dihydro-4-oxo-2-quinazolinyl)ethyl]-N-methyl- (9CI) (CA INDEX NAME)



L3 ANSWER 10 OF 54 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2003:155495 CAPLUS
DOCUMENT NUMBER: 139:6837
TITLE: Synthesis of 2-quinazolinonyl imidazolidinones
AUTHOR(S): Reddy, P. S. N.; Reddy, P. Pratap; Vasantha, T.
CORPORATE SOURCE: Dep. of Chem., Osmania Univ., Hyderabad, 500 007, India
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (2003), 42B(2), 393-396
CODEN: IJSBDB; ISSN: 0376-4699
PUBLISHER: National Institute of Science Communication
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:6837
GI



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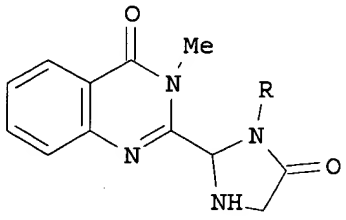
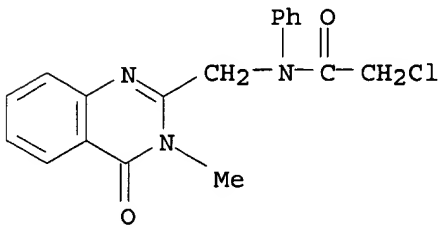


II

AB 2-Chloromethyl-3-methylquinazolin-4(3H)-one is converted to azides I (R = Ph, substituted Ph) which easily undergoes cyclization to give 2-quinazolinonyl imidazolidinones II. I (R = p-MeC6H4), however, yield 2,3-dimethylquinazolin-4(3H)-one and/or 2-(p-tolylaminomethyl)-3-methylquinazolin-4(3H)-one under thermal, microwave and in acidic medium.

IT **228871-37-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis of 2-quinazolinonyl imidazolidinones)
RN 228871-37-2 CAPLUS
CN Acetamide, 2-chloro-N-[(3,4-dihydro-3-methyl-4-oxo-2-quinazolinyl)methyl]-N-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 11 OF 54 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2003:76556 CAPLUS
DOCUMENT NUMBER: 138:131125
TITLE: Fat accumulation-modulating compounds
INVENTOR(S): Stevenson, Michael John; Leighton, Harry Jefferson
PATENT ASSIGNEE(S): Adipogenix, Inc., USA
SOURCE: PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

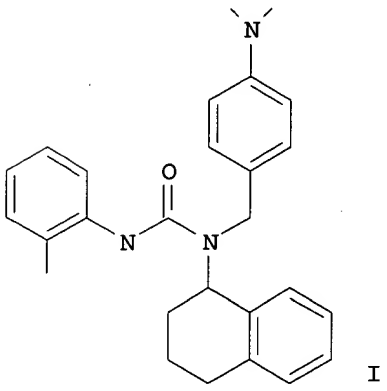
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003007888	A2	20030130	WO 2002-US23295	20020722
WO 2003007888	A3	20031127		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003144350	A1	20030731	US 2002-201588	20020722

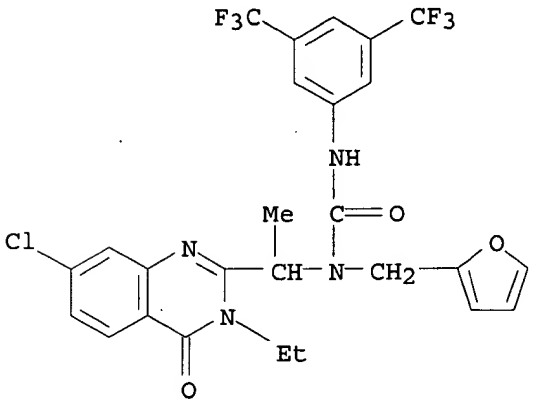
PRIORITY APPLN. INFO.: US 2001-306837P P 20010720
OTHER SOURCE(S): MARPAT 138:131125
GI



I

AB The present invention pertains to compds. effective at modulating fatty acid or triglyceride ("fat") accumulation by cells, such compds. having therapeutic potential as regulators of body mass and for the treatment of overweight individuals, obesity, and metabolic disorders. An example compd. is I and protocol for high-throughput screening of compd. efficacy on human preadipocytes is given. Therapeutic methods and pharmaceutical compns. featuring these compds. are also provided.

IT **290373-53-4**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(fat accumulation-modulating compds.)
RN 290373-53-4 CAPLUS
CN Urea, N'-[3,5-bis(trifluoromethyl)phenyl]-N-[1-(7-chloro-3-ethyl-3,4-dihydro-4-oxo-2-quinazolinyl)ethyl]-N-(2-furanylmethyl)- (9CI) (CA INDEX NAME)



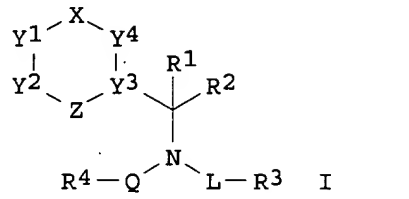
L3 ANSWER 12 OF 54 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:813938 CAPLUS
DOCUMENT NUMBER: 137:337907
TITLE: Preparation of N-(heteroarylalkyl)acylamides as CXCR3 antagonists for treatment of inflammatory or immune conditions
INVENTOR(S): Medina, Julio C.; Johnson, Michael G.; Li, An-Rong; Liu, Jiwen; Huang, Alan Xi; Zhu, Liusheng; Marcus, Andrew P.
PATENT ASSIGNEE(S): Tularik Inc., USA
SOURCE: PCT Int. Appl., 205 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002083143	A1	20021024	WO 2001-US47850	20011211
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002169159	A1	20021114	US 2001-15532	20011211
EP 1343505	A1	20030917	EP 2001-273533	20011211
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2003069234	A1	20030410	US 2002-164690	20020606
US 2003055054	A1	20030320	US 2002-231895	20020829
NO 2003002612	A	20030805	NO 2003-2612	20030610

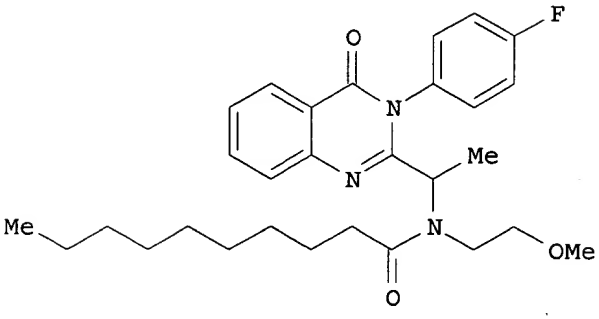
PRIORITY APPLN. INFO.: US 2000-255241P P 20001211
US 2001-296499P P 20010606
US 2001-15532 A1 20011211
WO 2001-US47850 W 20011211

OTHER SOURCE(S): MARPAT 137:337907

GI



I



II

AB Title compds. I [wherein X = a bond, CO, CR5R6, CR5:, SO, SO2, or N: ; Z = a bond, N:, O, S, NR17, or CR7: ; with the proviso that X and Z are not both a bond; L = CO-alkylene or (hetero)alkylene; Q = (hetero)alkylene, CO, OCO, NR8CO, CH2CO, CH2SO, or CH2SO2; or NLQ = heterocyclyl; R1 and R2 = independently H, (hetero)alkyl, or (hetero)aryl; or CR1R2 = (hetero)cyclyl; or CNR2L = heterocyclyl; R3 = OH, alkoxy, NH2, (di)alkylamino, heteroalkyl, heterocyclyl, acylaminoamidino, guanidino, ureido, CN, heteroaryl, carbamoyl, or carboxy; R4 = (hetero)alkyl, (hetero)aryl, etc.; R5 and R6 = independently H, (hetero)alkyl, or (hetero)aryl; or CR5R6 = a ring; R7 and R8 = independently H, (hetero)alkyl, or (hetero)aryl; Y1 and Y2 = independently CR12: N:, O, S, or NR13; Y3 = N or C, wherein C shares a double bond with either Z or Y4; Y4 = NR14, CR14:, N:, NR14CR15R16; R12 = H, halo, OH, NH2, (di)alkylamino, (hetero)alkyl, or (hetero)aryl, with provisos; R13 = H, (hetero)alkyl, (hetero)aryl, etc.; R14 = (hetero)alkyl, (hetero)aryl, etc.; R15 and R16 = independently H or (hetero)alkyl; R17 = H, (hetero)alkyl, (hetero)aryl, etc.; with provisos] were prepd. as chemokine receptor modulators, in particular CXCR3 antagonists. For example, anthranilic acid was acylated with propionyl chloride and the amide cyclized using acetic anhydride to give 2-ethylbenzo[d][1,3]oxazine-4-one. Treatment with 4-fluoroaniline, followed by ethylene glycol and NaOH afforded 2-ethyl-3-(4-fluorophenyl)-3H-quinazolin-4-one. Bromination and stepwise addn. of 1-amino-2-methoxyethane and decanoyl chloride produced the decanoic acid (quinazolinylethyl)(methoxyethyl)amide II. Approx. one third of the 101 invention compds. tested in a CXCR3 binding assay displayed activity with IC50 values of < 1 .mu.M. I are useful for the treatment of inflammatory and immunoregulatory disorders and diseases, such as multiple sclerosis, rheumatoid arthritis, and type I diabetes (no data).

IT **473718-36-4P**

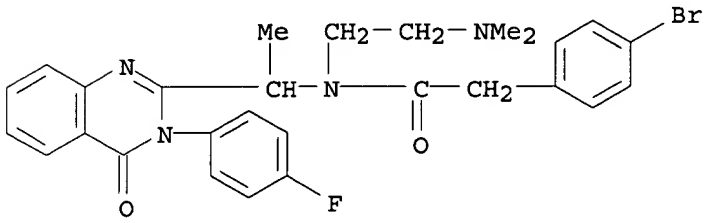
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

09/ 724,941

(CXCR3 antagonist; prepn. of N-(heteroarylalkyl)acylamides as CXCR3 antagonists for treatment of inflammatory or immune conditions)

RN 473718-36-4 CAPLUS

CN Benzeneacetamide, 4-bromo-N-[2-(dimethylamino)ethyl]-N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 13 OF 54 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:767119 CAPLUS

DOCUMENT NUMBER: 138:153345

TITLE: Bisazaheterocycles: part VII - synthesis of novel bisquinazolinonyl .beta.-lactams

AUTHOR(S): Reddy, P. S. N.; Reddy, P. Pratap; Vasantha, T.

CORPORATE SOURCE: Department of Chemistry, Osmania University, Hyderabad, 500 007, India

SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (2002), 41B(9), 1946-1949
CODEN: IJSBDB; ISSN: 0376-4699

PUBLISHER: National Institute of Science Communication

DOCUMENT TYPE: Journal

LANGUAGE: English

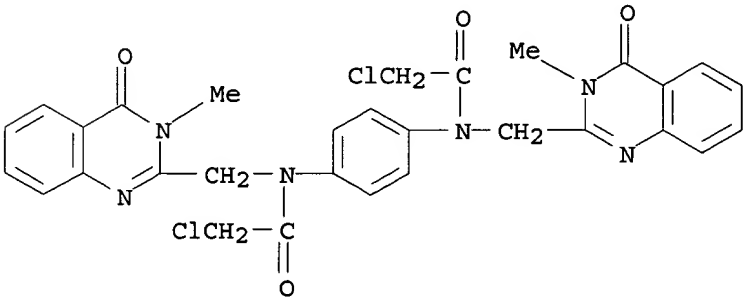
OTHER SOURCE(S): CASREACT 138:153345

AB The synthesis of bisquinazolinonyl .beta.-lactams as probes for multi-receptor sites is reported.

IT **495389-33-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of bisquinazolinonyl .beta.-lactams)

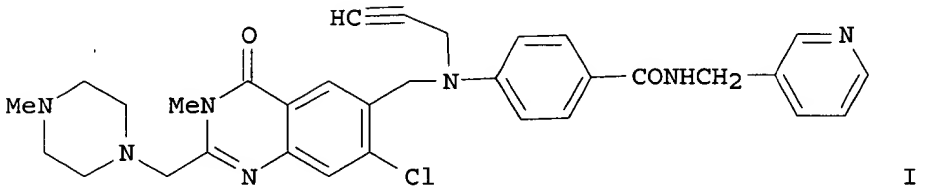
RN 495389-33-8 CAPLUS

CN Acetamide, N,N'-1,4-phenylenebis[2-chloro-N-[(3,4-dihydro-3-methyl-4-oxo-2-quinazolinyl)methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

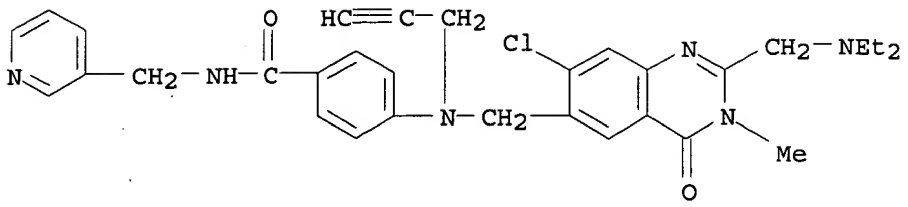
L3 ANSWER 14 OF 54 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:524028 CAPLUS
DOCUMENT NUMBER: 137:232613
TITLE: The Design and Synthesis of Water-Soluble Analogues of CB30865, a Quinazolin-4-one-Based Antitumor Agent
AUTHOR(S): Bavetsias, V.; Skelton, L. A.; Yafai, F.; Mitchell, F.; Wilson, S. C.; Allan, B.; Jackman, A. L.
CORPORATE SOURCE: Centre for Cancer Therapeutics at The Institute of Cancer Research, Chemistry Department, Cancer Research U.K. Laboratory, Cancer Research U.K., Surrey, SM2 5NG, UK
SOURCE: Journal of Medicinal Chemistry (2002), 45(17), 3692-3702
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:232613
GI



I

AB 4-[N-[7-Bromo-2-methyl-4-oxo-3,4-dihydroquinazolin-6-ylmethyl]-N-(prop-2-ynyl)amino]-N-(3-pyridylmethyl)benzamide (CB30865) is a quinazolin-4-one antitumor agent whose high growth-inhibitory activity (W1L2 IC50 = 2.8 .+-. 0.50 nM) is believed to have a folate-independent locus of action. In addn., CB30865 represents a class of compds. with unique biochem. characteristics such as a delayed, non-phase specific, cell-cycle arrest. The low aq. soly. of CB30865 prompted a search for more water-sol. analogs for in vivo evaluation of this class of compds. It was thought that aq. soly. could be increased by the introduction of amino functionalities at the 2-position of the quinazolin-4-one ring. A variety of compds. were synthesized in a linear fashion starting from 3-chloro-4-methylaniline. Most of these compds. were significantly more water-sol. than CB30865 (636 .mu.M for I at pH 6). In addn., some of them were up to 6-fold more cytotoxic than CB30865 (e.g., for I, W1L2 IC50 = 0.49 .+-. 0.24 nM) and retained its novel biochem. characteristics.

IT **289715-29-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of pyridinylmethylcarbamoylanilinomethylquinazolinones as water-sol. analogs of CB30865)
RN 289715-29-3 CAPLUS
CN Benzamide, 4-[[[7-chloro-2-[(diethylamino)methyl]-3,4-dihydro-3-methyl-4-oxo-6-quinazolinyl]methyl]-2-propynylamino]-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 15 OF 54 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:293477 CAPLUS
DOCUMENT NUMBER: 136:304056
TITLE: Hedgehog antagonists, methods and uses related thereto
INVENTOR(S): Dudek, Henryk; Pepicelli, Carmen; Karavanov, Irina
PATENT ASSIGNEE(S): Curis, Inc., USA
SOURCE: PCT Int. Appl., 224 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002030462	A2	20020418	WO 2001-US32100	20011015
WO 2002030462	C2	20030515		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002165221	A1	20021107	US 2001-977096	20011012
AU 2001096844	A5	20020422	AU 2001-96844	20011015

PRIORITY APPLN. INFO.:
US 2000-240564P P 20001013
US 2000-240536P P 20001013
WO 2001-US32100 W 20011015

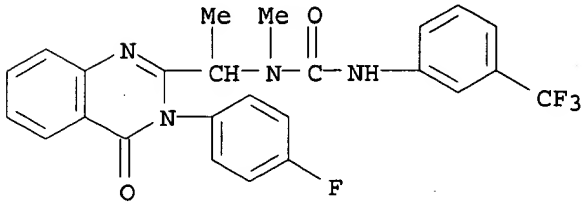
AB The present application is directed to compns. and methods for inhibiting angiogenesis and treating or preventing unwanted cell proliferation, including tumors, by inhibiting the hedgehog pathway, e.g., with an antagonist of the hedgehog pathway such as those disclosed herein. In one embodiment, the subject methods may be used to inhibit unwanted cell proliferation by detg. whether cells overexpress a gli gene, and contacting cells that overexpress gli gene with an effective amt. of a hedgehog antagonist. In preferred embodiments ,the unwanted cell proliferation is cancer or benign prostatic hyperplasia. Another aspect of the present invention involves measuring the levels of gli gene expression in order detn. the likelihood that a cancer will develop or to detn. a cancer treatment protocol. Another embodiment of the invention involves methods for using hedgehog antagonists to stimulate surfactant prodn. or lamellated body formation in lung cells, esp. the lung cells of premature infants. In other preferred embodiments, hedgehog antagonists are selected from small mols., hedgehog antibodies, antisense nucleic acids and ribozymes.

IT **330796-27-5**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)
(hedgehog pathway antagonists for inhibition of unwanted cell proliferation in cells overexpressing gli gene or to stimulate surfactant prodn. in lung for treatment of premature infants)

RN 330796-27-5 CAPLUS

CN Urea, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-methyl-N'-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



L3 ANSWER 16 OF 54 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:935583 CAPLUS

DOCUMENT NUMBER: 136:53759

TITLE: Preparation of N-acylquinazolinonealkylamines as KSP kinesin inhibitors

INVENTOR(S): Finer, Jeffrey T.; Bergnes, Gustav; Feng, Bainian; Smith, Whitney W.; Chabala, John C.; Morgans, David J., Jr.

PATENT ASSIGNEE(S): Cytokinetics, Inc., USA

SOURCE: PCT Int. Appl., 179 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

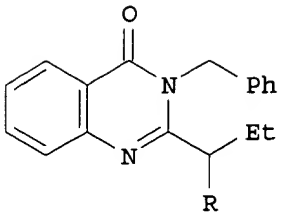
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001098278	A1	20011227	WO 2001-US13901	20010427
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6545004	B1	20030408	US 2000-699047	20001024
JP 2003048881	A2	20030221	JP 2002-156766	20001026
US 6562831	B1	20030513	US 2000-724644	20001128
US 6630479	B1	20031007	US 2000-724713	20001128
EP 1296959	A1	20030402	EP 2001-932769	20010427
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001011898	A	20030513	BR 2001-11898	20010427
NO 2002006172	A	20030220	NO 2002-6172	20021220

PRIORITY APPLN. INFO.:

US 2000-213104P	P	20000621
US 2000-699047	A	20001024
US 1999-198253P	P	19991027
JP 2001-533122	A3	20001026
WO 2001-US13901	W	20010427

OTHER SOURCE(S): MARPAT 136:53759

GI



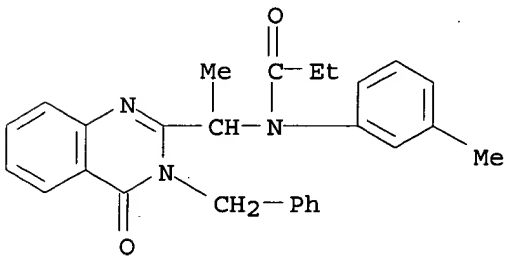
II

AB R1CR2R2'NRR4 [I; R = H, COR3, SO2R3', CH2R3''; R1 = (un)substituted 3,4-dihydro-4-oxoquinazolin-2-yl; R2,R2' = H, (oxa)alkyl, (hetero)aryl, etc.; R3 = H, alkyl, alkoxy, (hetero)aryl, etc.; R3',R4 = H, alkyl, (hetero)aryl, etc.; R3'' = alkyl, (hetero)aryl, etc.] were prepd. Thus, 2-(H2N)C6H4CO2H was amidated by PrCOCl and the cyclized product cyclocondensed with PhCH2NH2 to give, after bromination, quinazolinone II (R = Br) which was converted in 2 steps to II [R = N(COC6H4F-4)CH2CH2NMe2]. Data for biol. activity of I were given.

IT **288261-76-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-acylquinazolinonealkylamines as KSP kinesin inhibitors)

RN 288261-76-7 CAPLUS

CN Propanamide, N-[1-[3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]ethyl]-N-(3-methylphenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 17 OF 54 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:869018 CAPLUS

DOCUMENT NUMBER: 136:700

TITLE: Allosteric inhibitors of pyruvate kinase for therapeutic use

INVENTOR(S): Abraham, Donald J.; Wang, Changging; Danso-Danquah, Richmond; Burnett, James C.; Joshi, Gajanan S.; Hoffman, Steven J.

PATENT ASSIGNEE(S): Allos Therapeutics, Inc., USA; Virginia Commenwealth University

SOURCE: U.S. Pat. Appl. Publ., 15 pp., Cont.-in-part of U.S. 6,214,879.
CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

09/ 724,941

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2001046997	A1	20011129	US 2001-799873	20010307
US 6534501	B2	20030318		
US 6214879	B1	20010410	US 1998-46643	19980324

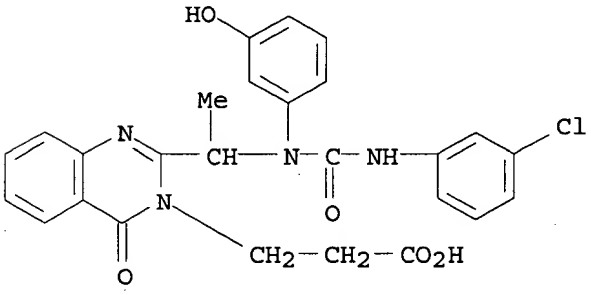
PRIORITY APPLN. INFO.: US 1998-46643 A2 19980324

AB Chem. structures have been identified which allosterically modify pyruvate kinase and inhibit enzymic activity. These compds. can be used as pharmaceuticals in the treatment of a wide variety of diseases and disorders where influencing metabolic processes is beneficial, e.g. the glycolytic pathway, all pathways which use ATP as an energy source, and all pathways which involve 2,3-diphosphoglycerate related to the delivery of oxygen by modifying Hb's oxygen affinity, treatments of tumor and cancer and Alzheimer's disease. Prepn. of e.g. 2-phenylethyloxy-5-formylbenzoic acid is described.

IT **375823-98-6**
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pyruvate kinase allosteric inhibitors for therapeutic use)

RN 375823-98-6 CAPLUS

CN 3(4H)-Quinazolinepropanoic acid, 2-[1-[[[(3-chlorophenyl)amino]carbonyl](3-hydroxyphenyl)amino]ethyl]-4-oxo- (9CI) (CA INDEX NAME)



L3 ANSWER 18 OF 54 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:319882 CAPLUS

DOCUMENT NUMBER: 134:326543

TITLE: Methods and compositions utilizing quinazolinones as KSP kinesin modulators

INVENTOR(S): Finer, Jeffrey T.; Bergnes, Gustave; Feng, Bainian; Smith, Whitney W.; Chabala, John C.

PATENT ASSIGNEE(S): Cytokinetics, Inc., USA

SOURCE: PCT Int. Appl., 168 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001030768	A1	20010503	WO 2000-US29585	20001026

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

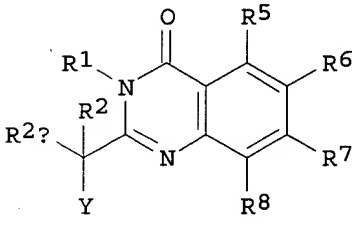
BR 2000015110	A	20020702	BR 2000-15110	20001026	
EP 1226129	A1	20020731	EP 2000-976656	20001026	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL					
JP 2003048881	A2	20030221	JP 2002-156766	20001026	
JP 2003512461	T2	20030402	JP 2001-533122	20001026	
US 6562831	B1	20030513	US 2000-724644	20001128	
US 6630479	B1	20031007	US 2000-724713	20001128	
ZA 2002002930	A	20021028	ZA 2002-2930	20020415	
NO 2002001907	A	20020607	NO 2002-1907	20020423	

PRIORITY APPLN. INFO.:

US 1999-198253P	P	19991027
US 2000-213104P	P	20000621
US 2000-699047	A1	20001024
JP 2001-533122	A3	20001026
WO 2000-US29585	W	20001026

OTHER SOURCE(S): MARPAT 134:326543

GI



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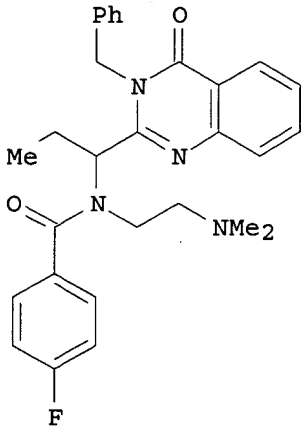
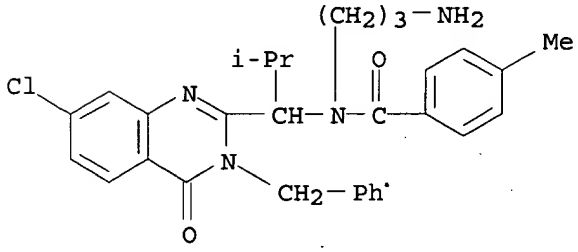


II

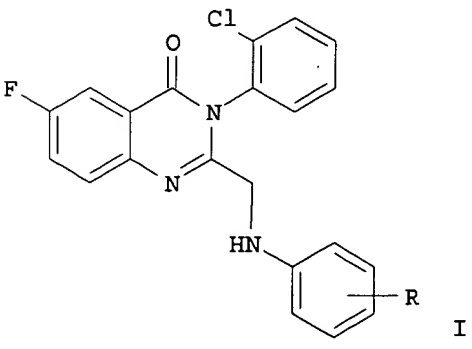
AB Quinazolinones (I) [wherein R1 = H, alkyl, (hetero)aryl, or (un)substituted alkyl(hetero)aryl; R2 and R2a = independently H or (un)substituted (oxa)alkyl, (hetero)aryl, or alkyl(hetero)aryl; Y = NR4COR3, NR4SO2R3a, NR4CH2R3b, or NHR4; R3 = H, oxaalkyl, or (un)substituted alkyl, (hetero)aryl, alkyl(hetero)aryl, oxaalkylaryl, ether, or amino; R3a = H or (un)substituted alkyl, (hetero)aryl, alkyl(hetero)aryl, or amino; R3b = (un)substituted alkyl, (hetero)aryl, or alkyl(hetero)aryl; R4 = H or (un)substituted alkyl, (hetero)aryl, alkyl(hetero)aryl, or alkylene; R5-R8 = independently H, (fluoro)alkyl, alkoxy, halo, NO2, dialkylamino, alkylsulfonyl, alkylsulfonamido(alkyl or aryl), alkylthio, carboxyalkyl, carboxamido, aminocarbonyl, or (hetero)aryl] were prepd. by conventional and solid phase combinatorial synthetic methods as KSP kinesin inhibitors for treatment of cellular proliferative diseases. For example, II was synthesized in a 6-step sequence involving (1) amidation of anthranilic acid with butyryl chloride (65%), (2) cyclization to give 2-propyl-3,1-[4H]benzoxazin-4-one (62%), (3) treatment with PhCH2NH2 to give 2-propyl-3-benzylquinazolin-4-one (67%), bromination (92%), addn. of N,N-dimethylethylenediamine (55%), and (6) amidation with p-fluorobenzoyl chloride (65%). I are useful for treating cancer, hyperplasia, restenosis, cardiac hypertrophy, immune disorders, and inflammation (no data). Methods of screening for compds. that will bind to a KSP kinesin or are modulators of KSP kinesin activity are also disclosed.

IT **336115-13-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of quinazolinone KSP kinesin modulators via conventional and solid phase combinatorial synthetic methods)
RN 336115-13-0 CAPLUS
CN Benzamide, N-(3-aminopropyl)-N-[1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]-2-methylpropyl]-4-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 19 OF 54 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:290354 CAPLUS
DOCUMENT NUMBER: 135:76844
TITLE: Quinazolin-4-one .alpha.-Amino-3-hydroxy-5-methyl-4-isoxazolepropionic Acid (AMPA) Receptor Antagonists: Structure-Activity Relationship of the C-2 Side Chain Tether
AUTHOR(S): Chenard, Bertrand L.; Welch, Willard M.; Blake, James F.; Butler, Todd W.; Reinhold, Anthony; Ewing, Frank E.; Menniti, Frank S.; Pagnozzi, Martin J.
CORPORATE SOURCE: Global Research and Development Groton Laboratories, Pfizer Inc., Groton, CT, 06340, USA
SOURCE: Journal of Medicinal Chemistry (2001), 44(11), 1710-1717
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

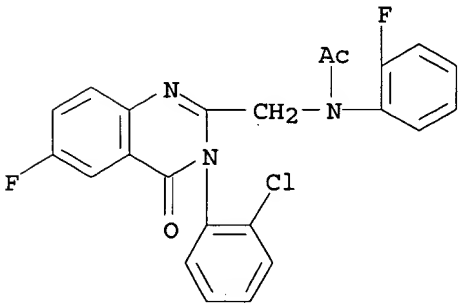
09/ 724,941

AB A series of 6-fluoro-3-(2-chlorophenyl)quinazolin-4-ones has been prepd., which contains a 2-fluorophenyl ring attached to C-2 by a variety of two-atom tethers. These compds. were used to probe the structure-activity relationship (SAR) for AMPA receptor inhibition. The relative potencies of the new compds. ranged from 11 nM to greater than 10 .mu.M. The differential activity of the compds. was rationalized on the basis of alterations of the 2-fluorophenyl positioning (planar and radial) relative to the quinazolin-4-one ring based on computational methods. From this effort, new AMPA receptor antagonists I [R = 2-F, 2-CN, 3-CN, 3-pyrrolidinomethyl], contg. the methylamino tether group, have been identified.

IT **346700-93-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of 2-arylaminomethylquinazolinones as AMPA receptor antagonists)

RN 346700-93-4 CAPLUS

CN Acetamide, N-[[3-(2-chlorophenyl)-6-fluoro-3,4-dihydro-4-oxo-2-quinazolinyl]methyl]-N-(2-fluorophenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 20 OF 54 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:208250 CAPLUS
DOCUMENT NUMBER: 134:252352
TITLE: Preparation of 3-aryl-2-arylureidoalkylquinazolin-4-ones and related compounds as mediators of hedgehog signaling pathways.
INVENTOR(S): Baxter, Anthony David; Boyd, Edward Andrew; Guichert, Oivin M.; Price, Stephen; Rubin, Lee D.
PATENT ASSIGNEE(S): Curis, Inc., USA
SOURCE: PCT Int. Appl., 177 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001019800	A2	20010322	WO 2000-US25461	20000915
WO 2001019800	A3	20011206		
WO 2001019800	C2	20021003		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,

SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU,
ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1216234	A2	20020626	EP 2000-963551	20000915
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003509414	T2	20030311	JP 2001-523380	20000915
US 6545005	B1	20030408	US 2000-663835	20000915

PRIORITY APPLN. INFO.: US 1999-154526P P 19990916
US 1999-159412P P 19991014
US 1999-162899P P 19991101
WO 2000-US25461 W 20000915

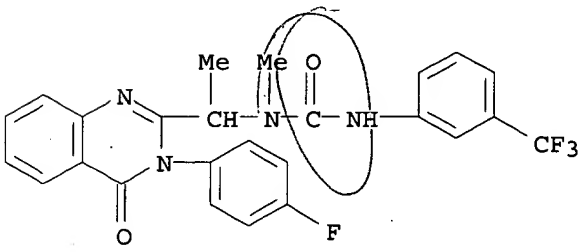
OTHER SOURCE(S): MARPAT 134:252352

AB R1LX1Y1Z1LX2Y2Z2LR2 [R1, R2 = H, alkyl, (substituted) aryl, aralkyl, heteroaryl, heteroarylalkyl; L = null, alkyl, alkenyl, alkynyl, (CH2)nO(CH2)p, etc.; n, p = 0-10; X1, X2 = NR8, O, S, Se, N:N, ON:CH, heterocyclyl, bond, etc.; Y1, Y2 = CO, CS, SO2, SO, C(:NCN), heteroaryl, bond, etc.; Z1, Z2 = NR8, O, S, Se, N:N, ON:CH, heterocyclyl, bond, etc.; R8 = H, alkyl, (substituted) aryl, aralkyl, heteroaryl, heteroaralkyl, etc.], were prepd. Thus, triphosgene in EtOAc was added to 4-nitro-3-trifluoromethylaniline in EtOAc followed by stirring and reflux. The mixt. was concd., dissolved in CHCl3, and treated with 3-(4-fluorophenyl)-2-(1-methylaminoethyl)-4-oxo-3,4-dihydroquinazoline in CHCl3 to give 97% 1-[1-[3-(4-fluorophenyl)-4-oxo-3,4-dihydroquinazolin-2-yl]ethyl]-3-(3-trifluoromethyl-4-nitrophenyl)-1-methylurea. The latter inhibited sonic hedgehog-induced Gli transcription activity with IC50 <5 .mu.M.

IT **330796-27-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 3-aryl-2-arylureidoalkylquinazolin-4-ones and related compds. as mediators of hedgehog signaling pathways)

RN 330796-27-5 CAPLUS

CN Urea, N-[1-[3-(4-fluorophenyl)-3,4-dihydro-4-oxo-2-quinazolinyl]ethyl]-N-methyl-N'-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



L3 ANSWER 21 OF 54 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:	2001:167976 CAPLUS
DOCUMENT NUMBER:	134:222723
TITLE:	Preparation of quinazolinones for modulating CXR3 function
INVENTOR(S):	Schall, Thomas J.; Dairaghi, Daniel J.; McMaster, Brian E.
PATENT ASSIGNEE(S):	Chemocentryx, Inc., USA
SOURCE:	PCT Int. Appl., 53 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001016114	A2	20010308	WO 2000-US23556	20000825
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1216232	A1	20020626	EP 2000-959489	20000825
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
US 6559160	B1	20030506	US 2000-648329	20000825
US 2003119854	A1	20030626	US 2002-279353	20021023

PRIORITY APPLN. INFO.:
US 1999-151212P P 19990827
US 2000-648329 A1 20000825
WO 2000-US23556 W 20000825

OTHER SOURCE(S): MARPAT 134:222723

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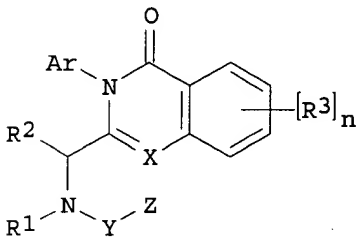


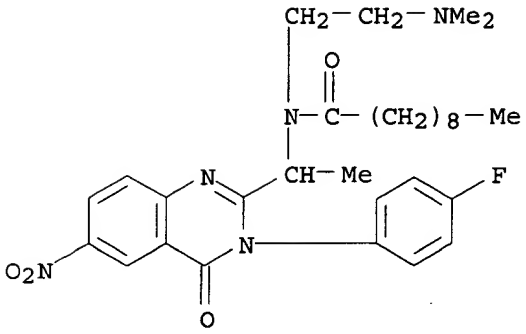
I

AB The title compds. [I; n = 0-4; Ar = (un)substituted aryl, heteroaryl; R1 = (un)substituted C5-15 alkyl; R2 = (un)substituted C1-8 alkyl; X = CH, N; Y = (un)substituted alkylene, heteroalkylene; Z = NR4R5 (R4, R5 = H, alkyl; NR4R5 = 5-7 membered ring)] that bind to the CXCR3 chemokine receptor and which are useful for treating diseases assocd. with CXCR3 activity, such as multiple sclerosis, were prepd. E.g., a multi-step synthesis of the quinazolinone I [Ar = 4-C6H4; R1 = decanoyl; R2 = Me; Y = (CH2)2; Z = NMe2; R3 = H] which showed IC50 of .ltoreq. 0.8 .mu.M against CXCR3 chemokine receptor binding, was given.

IT **329190-38-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of quinazolinones for modulating CXR3 function)

RN 329190-38-7 CAPLUS

CN Decanamide, N-[2-(dimethylamino)ethyl]-N-[1-[3-(4-fluorophenyl)-3,4-dihydro-6-nitro-4-oxo-2-quinazolinyl]ethyl]- (9CI) (CA INDEX NAME)

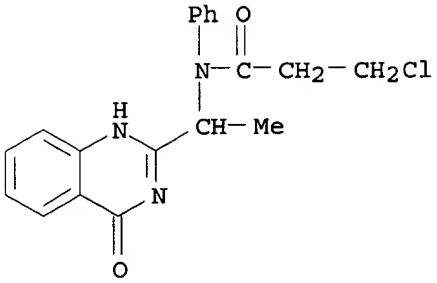


L3 ANSWER 22 OF 54 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:752919 CAPLUS
DOCUMENT NUMBER: 133:355320
TITLE: Optical resolution of a series of potential cholecystokinin antagonist 4(3H)-quinazolone derivatives by chiral liquid chromatography on .alpha.1-acid glycoprotein stationary phase
AUTHOR(S): Gyimesi-Forras, Krisztina; Szasz, Gyorgy; Gergely, Andras; Szabo, Monika; Kokosi, Jozsef
CORPORATE SOURCE: Institute of Pharmaceutical Chemistry, Semmelweis University, Budapest, H-1092, Hung.
SOURCE: Journal of Chromatographic Science (2000), 38(10), 430-434
CODEN: JCHSBZ; ISSN: 0021-9665
PUBLISHER: Preston Publications
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Optical resoln. of the enantiomers of new 4(3H)-quinazolone derivs. was investigated using the .alpha.1-acid glycoprotein chiral stationary phase (Chiral-AGP). Stereoselective sepn. of the model compds. can be controlled by varying the pH and adding uncharged org. modifiers (acetonitrile and 2-propanol) to the mobile phase. For the majority of quinazolone derivs., Chiral-AGP is proved to be an excellent enantioselector, because optimized chromatog. conditions allow for the baseline sepn. of the enantiomers. Sepn. factors between 1.19 and 1.85 are obtained. The effects of acetonitrile and 2-propanol on the chromatog. behavior of the model compds. are quite different because of their different hydrophobic- and hydrogen-bonding properties. The eluent pH and org. modifier concn. also contributes to the chiral recognition by altering the protein environment. The anal. of the exptl. results leads to new information about the chromatog. mechanism on a Chiral-AGP surface. (c) 2000 Preston Publications.

IT **172420-49-4**
RL: ANT (Analyte); ANST (Analytical study)
(optical resoln. of potential cholecystokinin antagonist 4(3H)-quinazolone derivs. by chiral liq. chromatog. on .alpha.1-acid glycoprotein stationary phase)

RN 172420-49-4 CAPLUS
CN Propanamide, 3-chloro-N-[1-(1,4-dihydro-4-oxo-2-quinazolinyl)ethyl]-N-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 23 OF 54 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:666928 CAPLUS
DOCUMENT NUMBER: 133:261508
TITLE: Screening of antiviral compounds targeted to the HIV-1 gp41 core structure
INVENTOR(S): Jiang, Shibo; Debnath, Asim K.
PATENT ASSIGNEE(S): New York Blood Center, Inc., USA
SOURCE: PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000055377	A1	20000921	WO 2000-US6771	20000315
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6596497	B1	20030722	US 2000-525874	20000314
EP 1161564	A1	20011212	EP 2000-917952	20000315
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

PRIORITY APPLN. INFO.: US 1999-124907P P 19990317
US 2000-525874 A 20000314
WO 2000-US6771 W 20000315
OTHER SOURCE(S): MARPAT 133:261508

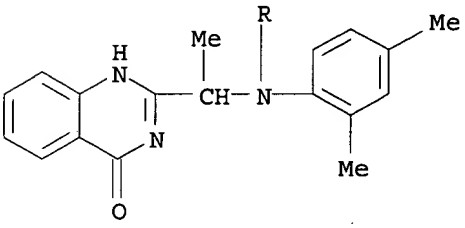
AB A method for the screening of antiviral compds. targeted to the HIV-1 gp41 core structure comprises capturing polyclonal antibodies from an animal other than a mouse directed against a trimer of a heterodimer contg. an N-peptide and a C-peptide onto a solid-phase, mixing a compd. to be tested with an N-peptide and then adding a C-peptide, adding the resultant mixt. to the resultant polyclonal antibody-coated solid-phase and then removing unbound peptides and unbound compd., adding a monoclonal antibody directed against the trimer of a heterodimer contg. an N-peptide and a C-peptide and measuring the antibody binding of the monoclonal antibody. A method for inhibiting HIV-1 virus replication or infectivity in a patient involves administering to the patient an antiviral compd. targeted to the HIV-1 gp41 core structure selected from the group consisting of 7-[6-phenylamino-4[4-[(3,5-disulfo-8-hydroxynaphthyl)azo]-2-methoxy-5-

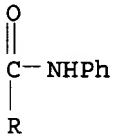
methyl-phenylamino]-1,3,5-triazine-2-yl]-4-hydroxy-3-[(2-methoxy-5-sulfophenyl)azo]-2-naphthalene sulfonic acid and 5-[(4-chloro-6-phenylamino-1,3,5-triazine-2-yl)-aminol]-4-hydroxy-3-[(4-methyl-5-sulfophenyl)azo]-2,7-naphthalene disulfonic acid.

IT **245764-86-7**
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(screening of antiviral compds. targeted to HIV-1 gp41 core structure)

RN 245764-86-7 CAPLUS

CN Urea, N-[1-(1,4-dihydro-4-oxo-2-quinazolinyl)ethyl]-N-(2,4-dimethylphenyl)-N'-phenyl- (9CI) (CA INDEX NAME)





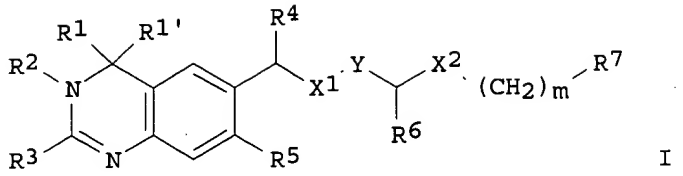
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 24 OF 54 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:608742 CAPLUS
DOCUMENT NUMBER: 133:207917
TITLE: Preparation of anticancer dihydroquinazoline derivatives with a non-folate dependent locus of activity
INVENTOR(S): Skelton, Lorraine; Bavetsias, Vassilis; Jackman, Ann
PATENT ASSIGNEE(S): Cancer Research Campaign Technology Ltd., UK
SOURCE: PCT Int. Appl., 91 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000050417	A1	20000831	WO 2000-GB655	20000224
W: AU, CA, JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1155012	A1	20011121	EP 2000-905212	20000224
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002537391	T2	20021105	JP 2000-600998	20000224

PRIORITY APPLN. INFO.: GB 1999-4275 A 19990224
WO 2000-GB655 W 20000224
OTHER SOURCE(S): MARPAT 133:207917

GI



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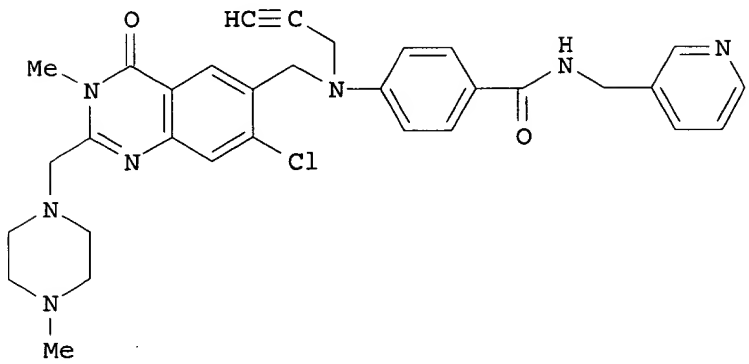


II

AB The title compds. (I) [wherein R1 and R1' together = :O and R2 = H, alkyl, alkyl-CO-B, alkyl-CO-alkyl-B, alkyl-CO2-alkyl-B, alkyl-CO2-alkenyl-B, or alkyl-CONH-alkyl-B; B = CO2H, OH, alkoxy, NH2, (di)alkylamino, or 5- or 6-membered heterocyclic group; or R1' and R2 together = a bond and R1 is alkylthio, NHR', or NHCOR'; R' = aryl or alkyl; R3 = (CH2)pA; p = 1-4; A = 5- or 6-membered N-contg. heterocyclic ring attached via the N or NA'A"; A' and A" = independently alkyl groups; R4 = H, :O, or alkyl and R5 = H, alkyl, or halo; or R4 and R5 together with the carbon atoms to which they are attached = 5- or 6-membered carbocyclic ring; X1 and X2 = independently O, S, or NR"; R" = H, alkyl, alkenyl, or alkynyl; Y = divalent (hetero)aryl; R6 = H, :O, or alkyl; m = 1-4; R7 = pyridyl, pyrimidyl, (alkyl)imidazolyl, or (alkyl)triazolyl], and pharmaceutically acceptable salts thereof, were prepd. for the treatment or prevention of cancer. I have a different pattern of activity to known chemotherapeutic agents, which operate via inhibition of thymidylate synthase (TS), and are thought to act via a new, non-folate dependent locus like that of CB30865. For example, hydrolysis of the 4-[N-(dihydroquinazolin-6-ylmethyl)-N-(prop-2-ynyl)amino]benzoate tert-Bu ester (multi-step prepn. given) with TFA in CH2Cl2, followed by amidation with 3-(aminomethyl)pyridine in DMF using PyBOP.RTM. in the presence of diisopropylethylamine, gave II (70%). II inhibits TS poorly compared to the known anticancer agent CB3717 (IC50 II / IC50 CB3717 > 2500). However, II (CB300919) was active against the W1L2 and W1l2:C1 cell lines, including W1L2 cells incubated in the presence of folate metabolites, with IC50 values of 0.49 nM, 0.28 nM, and 0.32 nM, resp. In a test against W1L2:R865, a CB30865 resistant cell line, II showed decreased activity with an IC50 of 13,000 nM. In addn., II demonstrated antitumor activity against CH1 ovarian and HT29 colon cancer cells in nude mice at doses that were tolerated.

IT **289715-29-3P**, CB 300922

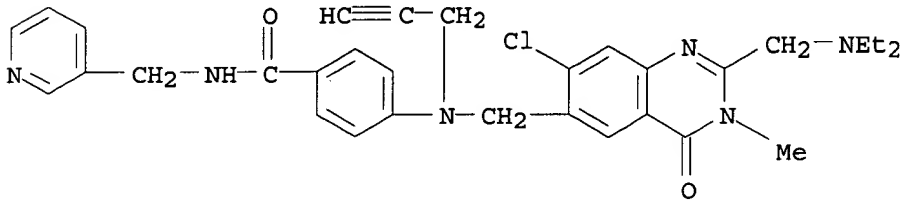
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(anticancer agent; prepn. of anticancer 6-[[N-(4-carbamoylphenyl)-N-(prop-2-ynyl)amino]methyl]-3,4-dihydroquinazolin-4-ones by hydrolysis

and amidation of 4-[N-(dihydroquinazolin-6-ylmethyl)-N-(prop-2-ynyl)amino]benzoate tert-Bu esters)

RN 289715-29-3 CAPLUS

CN Benzamide, 4-[[[7-chloro-2-[(diethylamino)methyl]-3,4-dihydro-3-methyl-4-oxo-6-quinazolinyl]methyl]-2-propynylamino]-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 25 OF 54 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2000:194606 CAPLUS

DOCUMENT NUMBER: 133:68349

TITLE: A Salt Bridge between an N-terminal Coiled Coil of gp41 and an Antiviral Agent Targeted to the gp41 Core Is Important for Anti-HIV-1 Activity

AUTHOR(S): Jiang, Shibo; Debnath, Asim K.

CORPORATE SOURCE: Lindsley F. Kimball Research Institute, New York Blood Center, New York, NY, 10021, USA

SOURCE: Biochemical and Biophysical Research Communications (2000), 270(1), 153-157
CODEN: BBRCA9; ISSN: 0006-291X

PUBLISHER: Academic Press

DOCUMENT TYPE: Journal

LANGUAGE: English

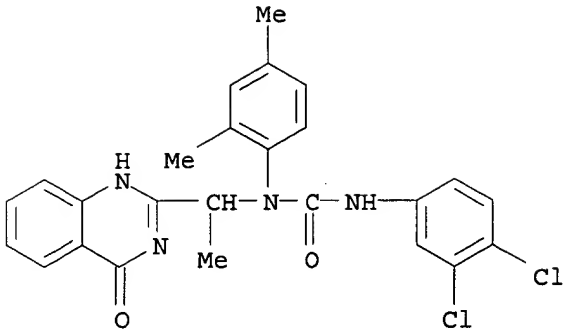
AB HIV-1 envelope glycoprotein transmembrane subunit gp41 play a crit. role in the fusion of viral and target cell membranes. The gp41 C-terminal heptad repeat region interacts with the N-terminal coiled-coil region to form a six-stranded core structure. Peptides derived from gp41 C-terminal heptad repeat region (C-peptides) are potent HIV-1 entry inhibitors by binding to gp41 N-terminal coiled-coil region. Most recently, the authors have identified two small org. compds. that inhibit HIV-1-mediated membrane fusion by blocking the formation of gp41 core. These two active compds. contain both hydrophobic and acidic groups while the inactive compds. only have hydrophobic groups. Anal. by computer modeling indicate that the acidic groups in the active compds. can form salt bridge with Lys 574 in the N-terminal coiled-coil region of gp41. Asp 632 in a C-peptide can also form a salt bridge with Lys 574. Replacement of Asp 632 with pos. charged residues or hydrophobic residues resulted in significant decrease of HIV-1 inhibitory activity. These results suggest that a salt bridge between an N-terminal coiled coil of the gp41 and an antiviral agent targeted to the gp41 core is important for anti-HIV-1 activity. (c) 2000 Academic Press.

IT **245764-88-9**

RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(a salt bridge between N-terminal coiled coil of gp41 and antiviral agent targeted to gp41 core is important for anti-HIV-1 activity)

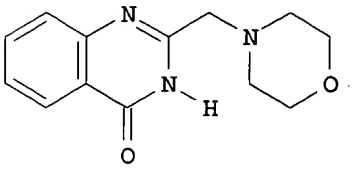
RN 245764-88-9 CAPLUS

CN Urea, N'-(3,4-dichlorophenyl)-N-[1-(1,4-dihydro-4-oxo-2-quinazolinyl)ethyl]-N-(2,4-dimethylphenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

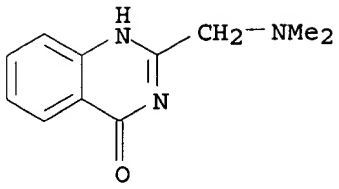
L3 ANSWER 26 OF 54 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1999:673737 CAPLUS
DOCUMENT NUMBER: 132:35672
TITLE: Synthesis and biological activity of some 2-substituted quinazolin-4-ones
AUTHOR(S): Spirkova, K.; Stankovsky, S.; Mrvova, A.; Cipak, L'.
CORPORATE SOURCE: Department of Organic Chemistry, Faculty of Chemical Technology, Slovak University of Technology, Bratislava, SK-812 37, Slovakia
SOURCE: Chemical Papers (1999), 53(4), 272-275
CODEN: CHPAEG; ISSN: 0366-6352
PUBLISHER: Slovak Academic Press Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 132:35672
GI



I

AB The nonclassical antifolates, e.g. 2-morpholinomethyl-3H-quinazolin-4-one (I), have been prepd. by nucleophilic substitution of bromine in 2-bromomethyl-3H-quinazolin-4-one by nitrogen and oxygen nucleophiles. IR and 1H NMR spectra, 13C NMR data of selected compds., basic antibacterial and cytotoxic activities are presented.

IT **252570-57-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and biol. activity of quinazolinones as antibacterial and antitumor agents)
RN 252570-57-3 CAPLUS
CN 4(1H)-Quinazolinone, 2-[(dimethylamino)methyl]- (9CI) (CA INDEX NAME)



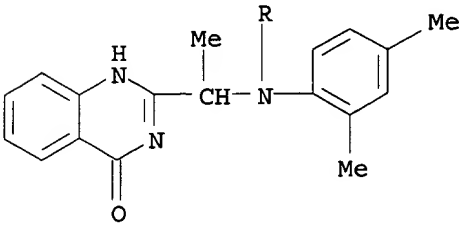
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

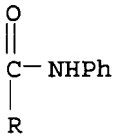
L3 ANSWER 27 OF 54 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1999:499893 CAPLUS
DOCUMENT NUMBER: 131:266552
TITLE: Structure-Based Identification of Small Molecule Antiviral Compounds Targeted to the gp41 Core Structure of the Human Immunodeficiency Virus Type 1
AUTHOR(S): Debnath, Asim Kumar; Radigan, Lin; Jiang, Shibo
CORPORATE SOURCE: Lindsley F. Kimball Research Institute, The New York Blood Center, New York, NY, 10021, USA
SOURCE: Journal of Medicinal Chemistry (1999), 42(17), 3203-3209
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Recent X-ray crystallog. detn. of the HIV-1 envelope glycoprotein gp41 core structure opened up a new avenue to discover antiviral agents for chemotherapy of HIV-1 infection and AIDS. A systematic study has been undertaken to search for anti-HIV-1 lead compds. targeted to gp41. Using mol. docking techniques to screen a database of 20,000 org. mols., 16 compds. were found with the best fit for docking into the hydrophobic cavity within the gp41 core and with max. possible interactions with the target site. Further testing of these compds. by an ELISA and virus inhibition assays discerned two compds. (ADS-J1 and ADS-J2) having inhibitory activity at micromolar concns. on the formation of the gp41 core structure and on HIV-1 infection. These two compds. will be used as leads to design more effective HIV-1 inhibitors targeted to the HIV-1 gp41 core structure.

IT **245764-86-7**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(structure-based identification of small mol. antiviral compds. targeted to gp41 core structure of HIV-1)

RN 245764-86-7 CAPLUS
CN Urea, N-[1-(1,4-dihydro-4-oxo-2-quinazolinyl)ethyl]-N-(2,4-dimethylphenyl)-N'-phenyl- (9CI) (CA INDEX NAME)





REFERENCE COUNT: 53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 28 OF 54 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1999:269394 CAPLUS
DOCUMENT NUMBER: 131:73460

TITLE: 4-Heteryl-.beta.-lactams: a facile synthesis of 1-aryl-4-[isopropylideneamino/methyl-4(3H)-oxoquinazolin-2-yl] azetidin-2-ones

AUTHOR(S): Reddy, P. S. N.; Vasantha, T.; Raju, Ch Naga
CORPORATE SOURCE: Department of Chemistry, Osmania University, Hyderabad, 500 007, India

SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1999), 38B(1), 40-44
CODEN: IJSBDB; ISSN: 0376-4699
PUBLISHER: National Institute of Science Communication, CSIR
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 131:73460

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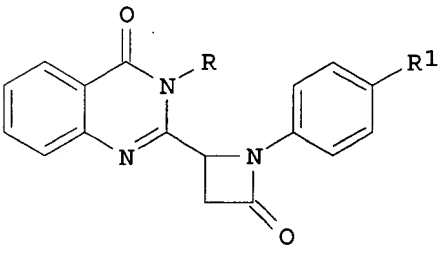

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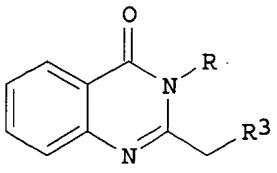


II

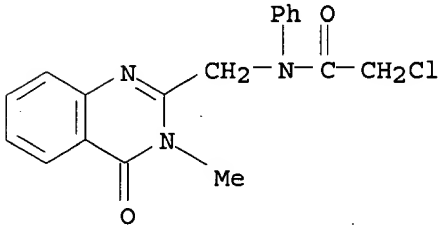
AB A facile and efficient synthesis of 4-quinazolinyl-.beta.-lactams I (R = Me, N:CMe2; R1 = H, Me, OMe, Et, Cl, Br, OEt) starting from the corresponding 2-chloromethylquinazolin-4(3H)-ones was reported. E.g., 2-(chloromethyl)-3-methyl-4(3H)-quinazolinone was refluxed in ethanol with benzenamine to give aminated quinazolinone II (R = Me, R3 = NHPh) which was subsequently reacted with chloroacetyl chloride using K2CO3 in acetone to form amide II [R = Me, R3 = N(Ph)COCH2Cl]. The amide was then cyclized using K2CO3 in DMF to form the target .beta.-lactam I (R = Me, R1 = H).

IT **228871-37-2P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(facile synthesis of 1-aryl-4-[isopropylideneamino/methyl-4(3H)-oxoquinazolin-2-yl] azetidin-2-ones via cyclocondensation)

RN 228871-37-2 CAPLUS

CN Acetamide, 2-chloro-N-[(3,4-dihydro-3-methyl-4-oxo-2-quinazolinyl)methyl]-N-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 29 OF 54 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1998:663413 CAPLUS

DOCUMENT NUMBER: 130:38348

TITLE: Nitrogen bridgehead compounds. Part 90. An efficient versatile synthesis of 1-methyl-2-substituted 1,2,3,4-tetrahydro-6H-pyrazino[2,1-b]quinazoline-3,6-diones

AUTHOR(S): Kokosi, Jozsef; Almasi, Janos; Podanyi, Benjamin; Feher, Miklos; Bocskei, Zsolt; Simon, Kalman; Hermecz, Istvan

CORPORATE SOURCE: Institute for Pharmaceutical Chemistry Semmelweis University of Medicine, Budapest, 1092, Hung.

SOURCE: Heterocycles (1998), 48(9), 1851-1866
CODEN: HTCYAM; ISSN: 0385-5414

PUBLISHER: Japan Institute of Heterocyclic Chemistry

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 130:38348

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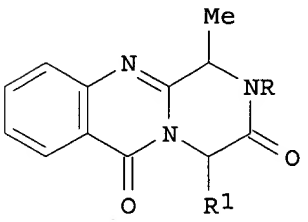

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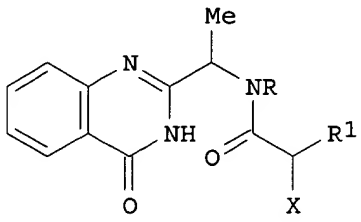
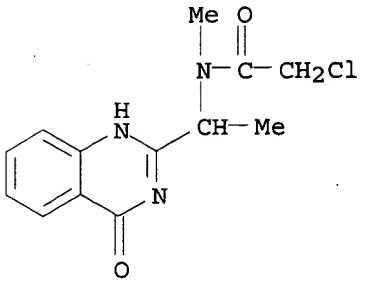


II

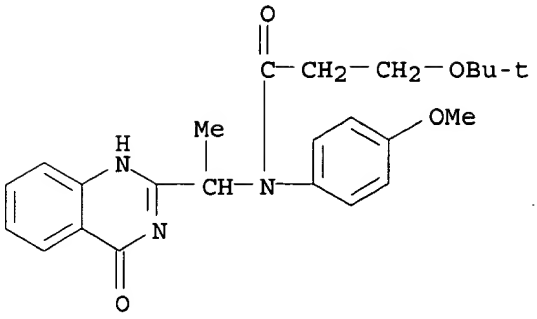
AB A versatile synthesis of 2-substituted 1-methyl- and 1,4-dimethyl-1,2,3,4-tetrahydro-6H-pyrazino[2,1-b]quinazoline-3,6-diones I (R = H, Et, Ph, etc., R1 = H, Me) is presented, starting from 2-(1-bromoethyl)quinazolin-4(3H)-one. The key step of the reaction sequence is the diastereoselective cyclization of 2-{[1-(N-2-haloacyl)-N-substituted amino]ethyl}quinazolin-4(3H)-ones II (R1 = H, X = Cl; R1 = Me, X = Br). Usually 1,4-di-Me derivs. are obtained as pure racemic cis-compds. (2-alkyl and 2-benzyl derivs.), or a mixt. of diastereomers, contg. the 4-Me group in quasi-axial position.

IT **216596-08-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of methylpyrazinoquinazolinediones)
RN 216596-08-6 CAPLUS
CN Acetamide, 2-chloro-N-[1-(1,4-dihydro-4-oxo-2-quinazolinyl)ethyl]-N-methyl- (9CI) (CA INDEX NAME)



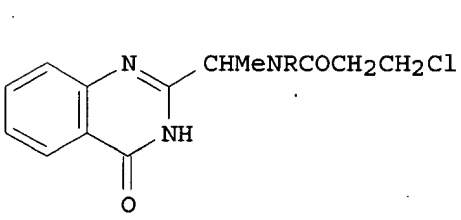
REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

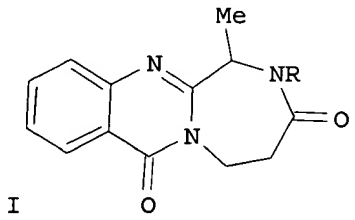
L3 ANSWER 30 OF 54 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1997:169030 CAPLUS
DOCUMENT NUMBER: 126:264067
TITLE: Nitrogen bridgehead compounds. Part 88. Synthesis of 3H,7H-[1,4]diazepino[3,4-b]quinazoline-3,7-diones
AUTHOR(S): Szabo, Monika; Koekoesi, Jozsef; Oerfi, Laszlo; Kovacs, Attila; Hermecz, Istvan
CORPORATE SOURCE: Institute for Pharmaceutical Chemistry, Semmelweis University of Medicine, Budapest, H-1092, Hung.
SOURCE: Journal of Heterocyclic Chemistry (1997), 34(1), 21-25
CODEN: JHTCAD; ISSN: 0022-152X
PUBLISHER: HeteroCorporation
DOCUMENT TYPE: Journal
LANGUAGE: English
AB 3H,7H-[1,4]Diazepino[3,4-b]quinazolone-3,7-diones were synthesized starting from 2-(1-bromoethyl)quinazolin-4(3H)-ones via 2-[1-(4-methoxyphenylamino)ethyl]quinazolin-4(3H)-ones. Cyclization of 3-[2-(1-bromomethyl)-4-oxo-3,4-dihydroquinazolin-3-yl]propionic acid by the action of triethylamine provided the first representative of the tricycle 7H-[1,4]oxazepino[3,4-b]quinazoline-3,7-dione system.
IT **172420-54-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 172420-54-1 CAPLUS
CN Propanamide, N-[1-(1,4-dihydro-4-oxo-2-quinazolinyl)ethyl]-3-(1,1-dimethylethoxy)-N-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 31 OF 54 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1996:557328 CAPLUS
DOCUMENT NUMBER: 125:328537
TITLE: Synthesis and cyclization of new quinazolone derivatives to [1,4]oxazepino- and [1,4]diazepino[3,4-b]quinazolones
AUTHOR(S): Szabo, Monika; Orfi, Laszlo; Kokosi, Jozsef; Hermecz, Istvan; Kovacs, Attila
CORPORATE SOURCE: Semmelweis Orvostudomanyi Egyetem, Gyogyszereszi Kemiai Intezet, Budapest, 1092, Hung.
SOURCE: Magyar Kemiai Folyoirat (1996), 102(8), 343-355
CODEN: MGKFA3; ISSN: 0025-0155
PUBLISHER: Magyar Kemikusok Egyesulete
DOCUMENT TYPE: Journal
LANGUAGE: Hungarian
GI



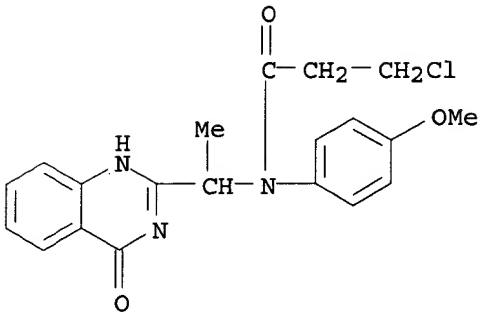


I II

AB Original routes have been developed for the synthesis of new heterocondensed quinazolones: [1,4]oxazepino[3,4-b]quinazolone and [1,4]diazepino[3,4-b]quinazolones. E.g., cyclization of quinazolone I (R = 4-MeOC6H4) gave [1,4]diazepino[3,4-b]quinazolone II.

IT **172420-51-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of quinazolones, [1,4]oxazepino-, and [1,4]diazepino[3,4-b]quinazolones)

RN 172420-51-8 CAPLUS
CN Propanamide, 3-chloro-N-[1-(1,4-dihydro-4-oxo-2-quinazolinyl)ethyl]-N-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

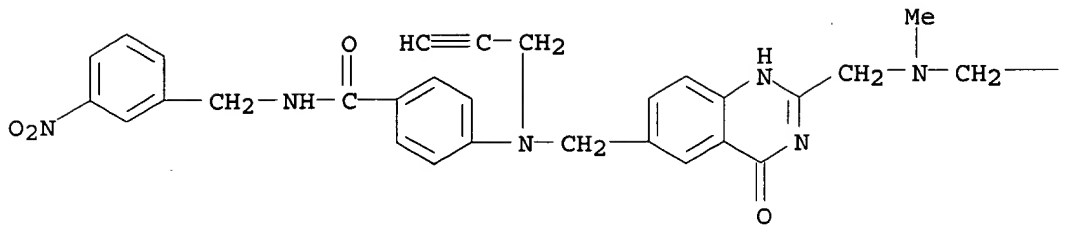


L3 ANSWER 32 OF 54 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1996:31844 CAPLUS
DOCUMENT NUMBER: 124:176006
TITLE: Quinazoline Antifolate Thymidylate Synthase Inhibitors: Lipophilic Analogs with Modification to the C2-Methyl Substituent
AUTHOR(S): Hennequin, Laurent F.; Boyle, F. Thomas; Wardleworth, J. Michael; Marsham, Peter R.; Kimbell, Rosemary; Jackman, Ann L.
CORPORATE SOURCE: Centre de recherches, Zeneca Pharma, Reims, 51064, Fr.
SOURCE: Journal of Medicinal Chemistry (1996), 39(3), 695-704
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

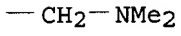
AB Modification of the potent thymidylate synthase (TS) inhibitor 1-[[N-[4-[N-[(3,4-dihydro-2-methyl-4-oxo-6-quinazolinyl)methyl]-N-prop-2-ynylamino]benzoyl]amino]methyl]-3-nitrobenzene (1) has led to the synthesis of quinazolinone antifolates bearing functionalized alkyl substituents at C2. A general synthetic route was developed which involved coupling the appropriate 1-[[N-[4-(alkylamino)benzoyl]amino]methyl]-3-nitrobenzene with a 6-(bromomethyl)-2-(acetoxymethyl)-3,4-dihydro-4-oxoquinazoline. Good TS (IC50 <1 .mu.M) and growth inhibition (IC50 0.1-1 .mu.M) were found with most of these new antifolates. TS inhibitors in this series do not apparently require the reduced folate carrier (RFC) for cell entry (they most likely penetrate the cell membrane by passive diffusion) and are not polyglutamated. N, O, S, Cl, and CN as well as large amino and mercapto substituents were tolerated by the enzyme. The simultaneous incorporation of 7-Me and 2'-F substituents gave a series of highly potent agents inhibiting cell growth at concns. <1 .mu.M. The incorporation of suitable C2 substituents has overcome the decrease in aq. soly. obsd. with lipophilic quinazoline antifolates.

IT **173952-10-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of quinazoline antifolate thymidylate synthase inhibitors)
RN 173952-10-8 CAPLUS
CN Benzamide, 4-[[[2-[[[2-(dimethylamino)ethyl]methylamino]methyl]-1,4-dihydro-4-oxo-6-quinazolinyl]methyl]-2-propynylamino]-N-[(3-nitrophenyl)methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

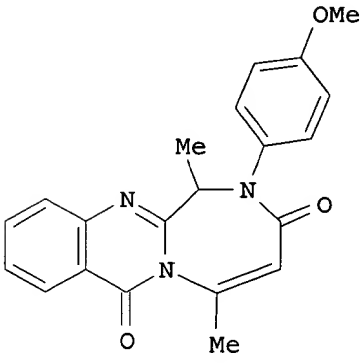


PAGE 1-B



L3 ANSWER 33 OF 54 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1995:855051 CAPLUS
DOCUMENT NUMBER: 124:86929
TITLE: Synthesis of potential CCK antagonist quinazolone derivatives
AUTHOR(S): Szabo, Monika; Kokosi, Jozsef; Orfi, Laszlo
CORPORATE SOURCE: Gyogyszereszi Kemiai Intezet, Semmelweis Orvostudomanyi Egyetem, Budapest, Hung.
SOURCE: Acta Pharmaceutica Hungarica (1995), 65(4), 133-8
CODEN: APHGAO; ISSN: 0001-6659
PUBLISHER: Ifjusagi Lap- es Konyvkiado Vallalat
DOCUMENT TYPE: Journal
LANGUAGE: Hungarian
GI



I

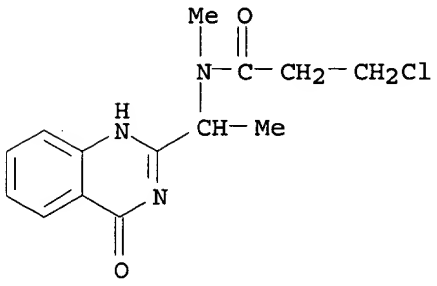
AB An original route has been found for the synthesis of [1,4]diazepinoquinazolones (e.g., I), a new ring system of heterocondensed quinazolones. These anthranilic acid-alanine-.beta.-alanine cyclopeptide derivs. constitute a structural moiety of asperlicin, the first natural cholecystokinin antagonist alkaloid. These compds. are therefore potential CCK antagonists. The new compds. were prepd. via condensation of 2-(aminoalkyl)quinazolones, obtained from 2-alkylquinazolones by side-chain substitution, with 1,3-bifunctional reagents. We studied the cyclization process under basic, acidic and phase-transfer catalyzed

conditions. The structures of the synthesized compds. were characterized by IR, UR and NMR spectroscopy.

IT **172420-44-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of [1,4]diazepinoquinazolones as potential CCK antagonists)

RN 172420-44-9 CAPLUS

CN Propanamide, 3-chloro-N-[1-(1,4-dihydro-4-oxo-2-quinazolinyl)ethyl]-N-methyl- (9CI) (CA INDEX NAME)



L3 ANSWER 34 OF 54 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1995:346688 CAPLUS
DOCUMENT NUMBER: 122:160664
TITLE: Quinazoline derivatives as neoplasm inhibitors
INVENTOR(S): Barker, Andrew John; Boyle, Francis Thomas; Hennequin, Laurent Francois Andre
PATENT ASSIGNEE(S): Zeneca Ltd., UK; British Technology Group Ltd.
SOURCE: Brit. UK Pat. Appl., 71 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2271111	A1	19940406	GB 1993-20077	19930929
ZA 9306768	A	19940330	ZA 1993-6768	19930914
WO 9407869	A1	19940414	WO 1993-GB2015	19930928
W: AU, BG, BR, CA, CZ, FI, HU, JP, KR, NO, NZ, PL, PT, RO, RU, SE, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9348297	A1	19940426	AU 1993-48297	19930928

PRIORITY APPLN. INFO.: GB 1992-20571 A 19920930
WO 1993-GB2015 W 19930928
OTHER SOURCE(S): MARPAT 122:160664
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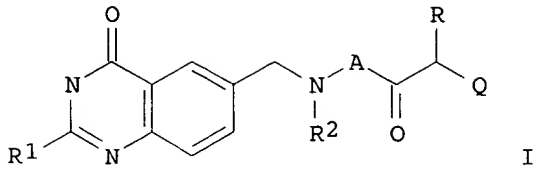
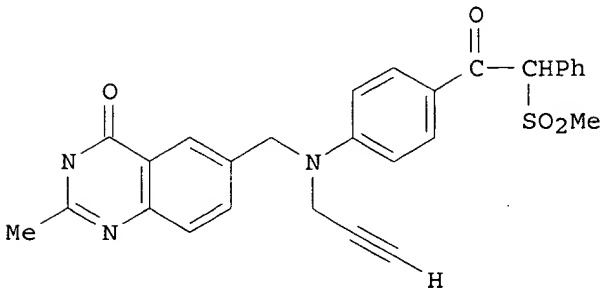


I



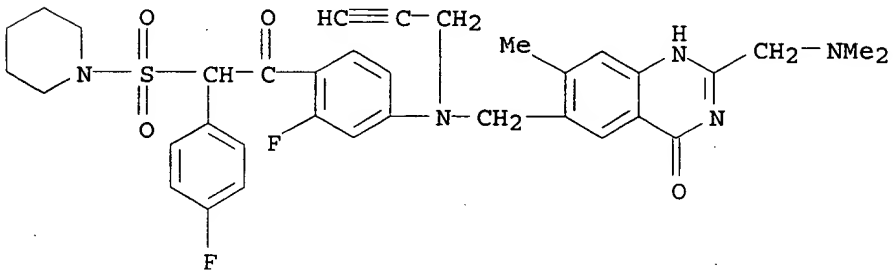
II

AB Quinazolines I (R1 = H, substituent; R2 = H, alkyl, etc.; A = phenylene, arom. heterocyclene ring; R = Ph, heteroaryl; Q = nitro, cyano, carbamoyl, etc.) were disclosed. Compds. I are useful as antitumor agents. A specifically claimed example compd. is 4-[[(2-methyl-4-oxo-3,4-dihydro-6-quinazolinyl)methyl](2-propenyl)amino]-.alpha.-(methylsulfonyl)desoxybenzoin (II).

IT **161417-83-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as neoplasm inhibitor)

RN 161417-83-0 CAPLUS

CN Piperidine, 1-[[2-[4-[[[2-[(dimethylamino)methyl]-1,4-dihydro-7-methyl-4-oxo-6-quinazolinyl]methyl]-2-propynylamino]-2-fluorophenyl]-1-(4-fluorophenyl)-2-oxoethyl]sulfonyl]- (9CI) (CA INDEX NAME)



L3 ANSWER 35 OF 54 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1994:457466 CAPLUS

DOCUMENT NUMBER: 121:57466

TITLE: Syntheses of indolyl-4(3H)-quinazolinones

AUTHOR(S): Hermecz, Istvan; Kokosi, Jozsef; Podanyi, Benjamin; Szasz, Gyorgy

CORPORATE SOURCE: CHINOIN Pharm. and Chem. Works Ltd., Budapest, H-1325, Hung.

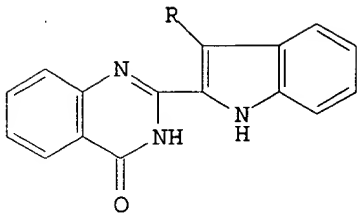
SOURCE: Heterocycles (1994), 37(2), 903-14
CODEN: HTCYAM; ISSN: 0385-5414

DOCUMENT TYPE: Journal

LANGUAGE: English

09/ 724,941

GI



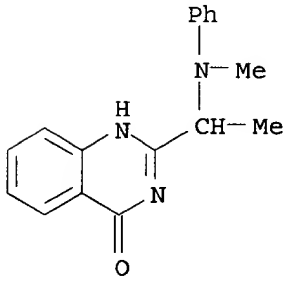
I

AB 2-(1H-Indol-2-yl)-4(3H)-quinazolinones I (R = H, Me) and 2-(2-ethoxy-carbonyl-1H-indol-3-yl)-4(3H)-quinazolin-4-one were prepd. by the Fischer indolization of 2-(1-phenylhydrazonoalkyl)- and 2-(2-phenylhydrazono-2-ethoxycarbonylethyl)-4(3H)-quinazolinones, resp. Also prepd. was 2-(1H-indol-3-yl)-4(3H)-quinazolinone. A reaction mechanism is discussed.

IT **155912-20-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 155912-20-2 CAPLUS

CN 4(1H)-Quinazolinone, 2-[1-(methylphenylamino)ethyl]- (9CI) (CA INDEX NAME)



L3 ANSWER 36 OF 54 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1994:323466 CAPLUS

DOCUMENT NUMBER: 120:323466

TITLE: Synthesis and biological activities of 6-bromo-2,3-disubstituted-4-(3H)-quinazolinones

AUTHOR(S): Abdel-Alim, Abdel-Alim M.; El-Shorbagi, Abdel-Nasser A.; El-Shareif, Hosny A. H.; El-Gendy, Mahmoud A.; Amin, Monir A.

CORPORATE SOURCE: Fac. Pharm., Assiut Univ., Cairo, Egypt

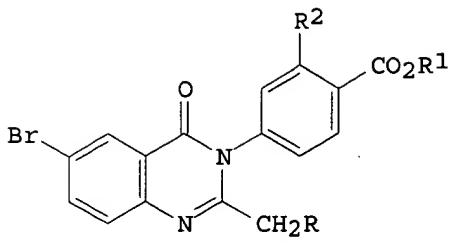
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1994), 33B(3), 260-5
CODEN: IJSBDB; ISSN: 0376-4699

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 120:323466

GI



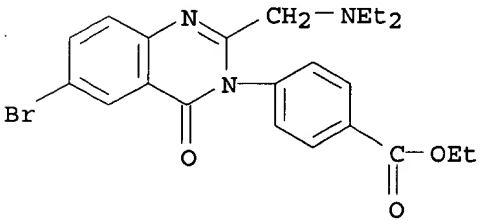
I

AB The title compds., 6-bromo-2, 3-disubstituted-4(3H)-quinazolinones (I) have been synthesized for evaluation as potential sedative-hypnotic, anti-convulsant and anti-inflammatory agents. Compd. I (R = PhCh2S, R1 = Et, R2 = H) has been synthesized by condensing 6-bromo-2-chloromethyl-3-(p-ethoxycarbonylphenyl)-4(3H)-quinazolinone with benzyl mercaptan in the presence of potassium carbonate. Compds. I (R = CH2SCH2CO2H, CH2SCH2CH2CO2H, CH2SCHMeCO2H) (II) are obtained by the condensation of I (R = Cl) with the appropriate thioacid. Superior sedative-hypnotic and anti-convulsant effects are achieved by II (R1 = Me, Et: R2 = H) (III). On the other hand, II (R2 = OH) reveal better results as anti-inflammatory agents than that for III. Most of the tested compds. have been found to be, at least, two times as potent as aspirin in anti-inflammatory tests.

IT **155104-17-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 155104-17-9 CAPLUS

CN Benzoic acid, 4-[6-bromo-2-[(diethylamino)methyl]-4-oxo-3(4H)-quinazolinyl]-, ethyl ester (9CI) (CA INDEX NAME)



L3 ANSWER 37 OF 54 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1991:429242 CAPLUS

DOCUMENT NUMBER: 115:29242

TITLE: A new synthesis of 2-aryl-2H-pyrazino[2,1-b]quinazoline-3,6(1H,4H)-diones

AUTHOR(S): Reddy, P. S. N.; Nagaraju, C.

CORPORATE SOURCE: Dep. Chem., Osmania Univ., Hyderabad, 500 007, India

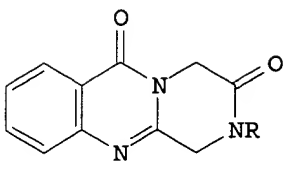
SOURCE: Synthetic Communications (1991), 21(2), 173-81
CODEN: SYNCAV; ISSN: 0039-7911

DOCUMENT TYPE: Journal

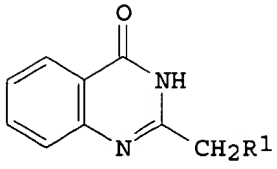
LANGUAGE: English

OTHER SOURCE(S): CASREACT 115:29242

GI



I



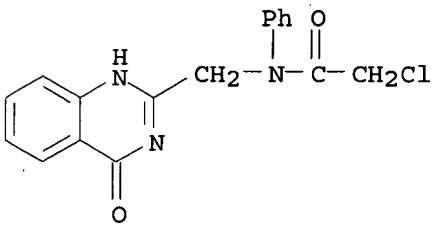
II

AB Six title compds. I (R = Ph, substituted Ph) were prepd. starting from (2-chloromethyl)quinazolinone II (R1 = Cl) in 3 steps involving condensation with RNH2 to give II (R1 = NHR), condensation with chloroacetic anhydride or ClCH2COCl to give II (R1 = NRCOCH2Cl) and dehydrochlorination-cyclization with Et3N in dioxane at room temp.

IT **134577-55-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and intramol. cyclization of)

RN 134577-55-2 CAPLUS

CN Acetamide, 2-chloro-N-[(1,4-dihydro-4-oxo-2-quinazolinyl)methyl]-N-phenyl- (9CI) (CA INDEX NAME)



L3 ANSWER 38 OF 54 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1991:6427 CAPLUS

DOCUMENT NUMBER: 114:6427

TITLE: Synthetic studies of substituted quinazolinones

AUTHOR(S): Eguchi, Yukuo; Sugimoto, Akiko; Ishikawa, Masayuki

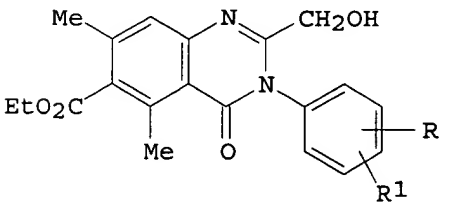
CORPORATE SOURCE: Inst. Med. Dent. Eng., Tokyo Med. Dent. Univ., Tokyo, 101, Japan

SOURCE: Iyo Kizai Kenkyusho Hokoku (Tokyo Ika Shika Daigaku) (1989), 23, 65-72
CODEN: IKKHBS; ISSN: 0082-4739

DOCUMENT TYPE: Journal

LANGUAGE: Japanese

GI



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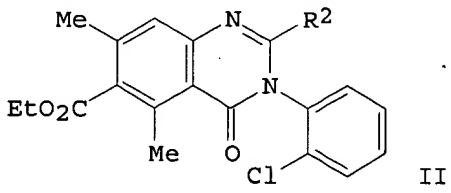


II

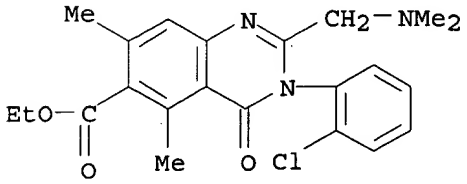
AB Oxidn. 2-methyl-3-(2-substituted phenyl)-6-(ethoxycarbonyl)-5,7-dimethyl-

4(3H)-quinazolinones by SeO2 followed by Ca(BH4)2 redn. gave hydroxymethylquinazolinones I (R, R1 = H, H; H, 2-Cl; H, 4-Cl; 3-MeO, 4-MeO; RR1 = 3,4-methylenedioxy). Chlorination of quinazolinone II (R2 = CH2OH) by PCl5 in anhyd. C6H6 at room temp. gave II (R2 = CH2Cl). Other new quinazolinones prepd. were II [R2 = CH(OH)Me, CH(OH)Ph, CH(OH)(CH2)3NMe2, CH2NMe2, CH2NEt2).

IT **130947-64-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 130947-64-7 CAPLUS

CN 6-Quinazolinecarboxylic acid, 3-(2-chlorophenyl)-2-[(dimethylamino)methyl]-3,4-dihydro-5,7-dimethyl-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



L3 ANSWER 39 OF 54 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1987:575980 CAPLUS

DOCUMENT NUMBER: 107:175980

TITLE: Synthesis of some quinazolones

AUTHOR(S): Srivastava, Vijai K.; Singh, I. P.; Singh, Shradha; Gupta, M. B.; Shanker, K.

CORPORATE SOURCE: Dep. Pharmacol. Ther., King George's Med. Coll., Lucknow, 226 003, India

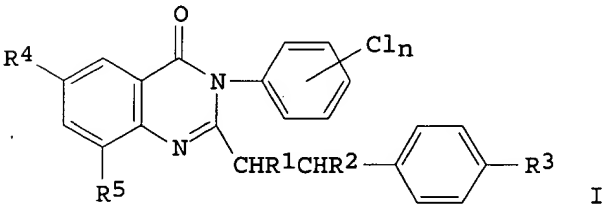
SOURCE: Indian Journal of Pharmaceutical Sciences (1986), 48(5), 133-6
CODEN: IJSIDW; ISSN: 0250-474X

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 107:175980

GI



I

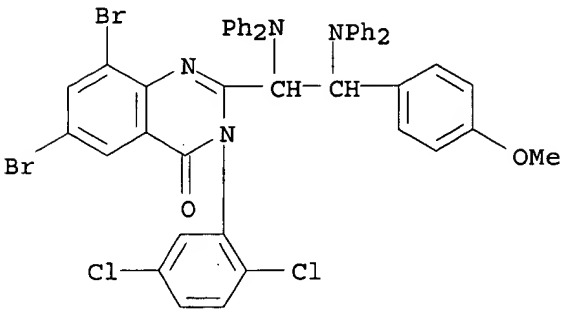
AB 4(3H)-Quinazolinones I (n = 1,2; R1 = R2 = disubstituted amino, or R1 = Br and R2 = alkoxy; R3 = OMe, NMe2; R4 = Br, iodo; R5 = H, Br) were prepd., and they showed antiparkinsonian and anticatatonic activity. Methylquinazolinones underwent a condensation reaction with the resp. 4-R3C6H4CHO, and the products were converted to I.

IT **110818-25-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and antiparkinsonian activity of)

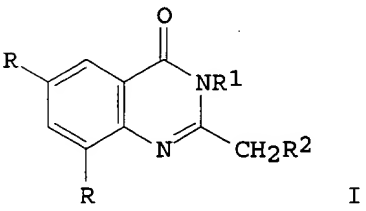
RN 110818-25-2 CAPLUS

CN 4(3H)-Quinazolinone, 2-[1,2-bis(diphenylamino)-2-(4-methoxyphenyl)ethyl]-

6,8-dibromo-3-(2,5-dichlorophenyl)- (9CI) (CA INDEX NAME)



L3 ANSWER 40 OF 54 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1986:497416 CAPLUS
DOCUMENT NUMBER: 105:97416
TITLE: Synthesis and biological activities of certain derivatives of 3-aryl-4(3H)-quinazolinones. Part II
AUTHOR(S): Rao, A. Devender; Shankar, C. Ravi; Reddy, P. Bhaghavan; Reddy, V. Malla
CORPORATE SOURCE: Coll. Pharm. Sci., Kakatiya Univ., Warangal, 506 009, India
SOURCE: Journal of the Indian Chemical Society (1985), 62(3), 234-7
CODEN: JICSAH; ISSN: 0019-4522
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 105:97416
GI

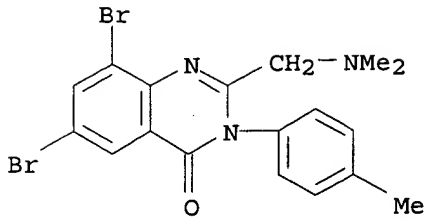


I

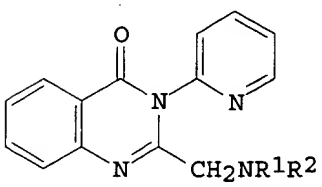
AB 3-Arylquinazolinones I [R = H, Br; R1 = 4-MeC6H4, 2-MeC6H4, 4-O2NC6H4, 2-O2NC6H4; R2 = NMe2, NEt2, N(CH2CH2OH)2, piperidino, morpholino, 4-AcNHC6H4SO2, etc.] were prepd. from I (R2 = Cl), which were obtained by cyclocondensation of N-chloroacetylanthranilic acids with R1NH2 in the presence of PCl3. I are antifungal agents, I (R = H, R1 = 4-O2NC6H4, R2 = 4-AcNHC6H4SO2) giving total control of Curvularia lunata and Fusarium oxysporum at 800 .mu.g/mL.

IT **103952-94-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antifungal activity of)

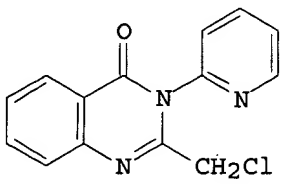
RN 103952-94-9 CAPLUS
CN 4(3H)-Quinazolinone, 6,8-dibromo-2-[(dimethylamino)methyl]-3-(4-methylphenyl)- (9CI) (CA INDEX NAME)



L3 ANSWER 41 OF 54 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1985:220818 CAPLUS
DOCUMENT NUMBER: 102:220818
TITLE: Possible antifertility agents. Part-I. Synthesis of 2-(N,N-substituted-aminomethyl)-3-(2-pyridyl)-4(3H)-oxo-3,1-quinazolines
AUTHOR(S): Kulkarni, Y. D.; Abdi, S. H. R.; Sharma, V. L.
CORPORATE SOURCE: Dep. Chem., Univ. Lucknow, Lucknow, 226 007, India
SOURCE: Journal of the Indian Chemical Society (1984), 61(8), 720-1
CODEN: JICSAH; ISSN: 0019-4522
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 102:220818
GI

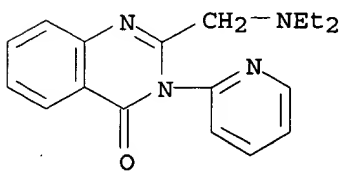


I



II

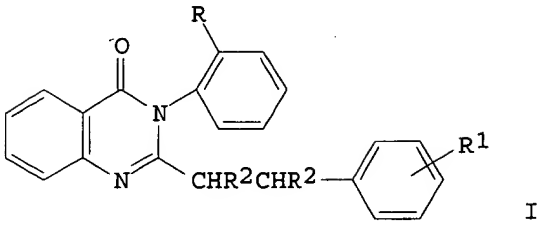
AB The title compds. I (NR1R2 = Et2N, pyrrolidino, piperidino, 4-methylpiperidino, morpholino), potential contraceptives, were prepd. in 5 steps from o-O2NC6H4COCl and 2-aminopyridine via o-O2NC6H4CONHR (R = 2-pyridyl), o-H2NC6H4CONHR, o-ClCH2CONHC6H4CONHR, and quinazolinone II. I showed little or no activity at 25 mg/kg animal (unidentified).
IT **96656-51-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as potential contraceptive)
RN 96656-51-8 CAPLUS
CN 4(3H)-Quinazolinone, 2-[(diethylamino)methyl]-3-(2-pyridinyl)- (9CI) (CA INDEX NAME)



L3 ANSWER 42 OF 54 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1985:45864 CAPLUS

09/ 724,941

DOCUMENT NUMBER: 102:45864
TITLE: Synthesis and antiinflammatory activity of 2-substituted-phenethyl-3-substituted-phenyl-4(3H)-quinazolinones
AUTHOR(S): Singh, Inder Pal; Saxena, A. K.; Sinha, J. N.; Bhargava, K. P.; Shanker, K.
CORPORATE SOURCE: Dep. Pharmacol. Ther., King George's Med. Coll., Lucknow, 226 003, India
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1984), 23B(6), 592-4
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 102:45864
GI

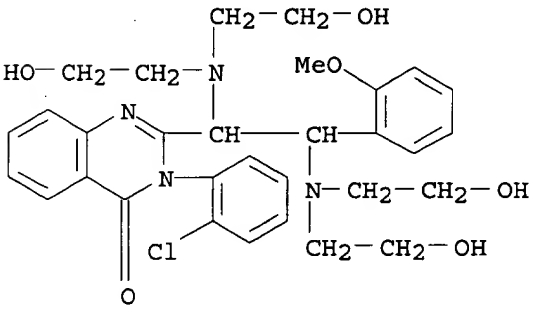


I

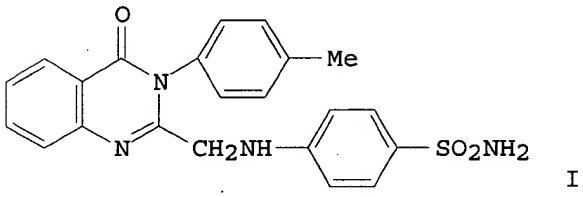
AB Quinazolinones I (R = Cl, Me; R1 = 2-OMe, 3-Cl, 2-OH; R2 = N-Phenylpiperazino, homopiperidino, 2-methylpiperidino, morpholino, 4-ClC6H4CH2CH2NH, N(CH2CH2OH)2, piperidino, N-(2-chlorophenyl)piperazino] have been prepd. by the bromination of 2-styrylquinazolinones to yield .alpha.,.beta.-dibromophenethylquinazolinones which undergo condensation with amines to gives I. 2-(.alpha.-Bromo-o,.beta.-dimethoxyphenethyl)-3-(o-chlorophenyl)-4(3H)-quinazolinone has been obtained by the action of MeOH on the dibromo analog. All I show significant antiinflammatory activity. I (R = Cl, R1 = 3-Cl, R2 = N-phenylpiperazino) is the most potent.

IT **93444-52-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antiinflammatory activity of)

RN 93444-52-1 CAPLUS
CN 4(3H)-Quinazolinone, 2-[1,2-bis[bis(2-hydroxyethyl)amino]-2-(2-methoxyphenyl)ethyl]-3-(2-chlorophenyl)- (9CI) (CA INDEX NAME)

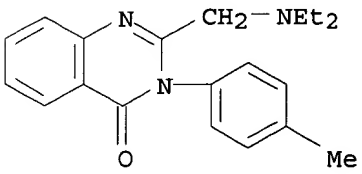


L3 ANSWER 43 OF 54 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1983:405584 CAPLUS
DOCUMENT NUMBER: 99:5584
TITLE: Synthesis and biological activities of certain derivatives of 3-aryl-4(3H)-quinazolinones. Part I
AUTHOR(S): Shankar, C. Ravi; Rao, A. Devendar; Reddy, B. Jayasena; Reddy, V. Malla
CORPORATE SOURCE: Univ. Coll. Pharm. Sci., Kakatiya Univ., Warangal, 506 009, India
SOURCE: Journal of the Indian Chemical Society (1983), 60(1), 61-3
CODEN: JICSAH; ISSN: 0019-4522
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 99:5584
GI



I

AB Nine different 3-aryl-2-(N',N'-substituted aminomethyl)-4(3H)-quinazolinones have been synthesized by condensing 3-aryl-2-chloromethyl-4(3H)-quinazolinones with different secondary bases. Similarly, seven different 3-aryl-2-(N4-arylsulfonamidomethyl)-4(3H)-quinazolinones, e.g. I, have been obtained on reacting 3-aryl-2-chloromethyl-4-(3H)-quinazolinones with various aryl sulfonamides. The antibacterial and antifungal activities of the compds. have been detd. and the structure-activity relationships is discussed.
IT **86109-92-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn., bactericidal, and fungicidal activity of)
RN 86109-92-4 CAPLUS
CN 4(3H)-Quinazolinone, 2-[(diethylamino)methyl]-3-(4-methylphenyl)- (9CI) (CA INDEX NAME)



L3 ANSWER 44 OF 54 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1980:426374 CAPLUS
DOCUMENT NUMBER: 93:26374
TITLE: Studies on biologically active halogenated compounds. II. Chemical modifications of 6-amino-2-fluoromethyl-3-[o-tolyl]-4[3H]-quinazolinone and the CNS depressant activities of related compounds
AUTHOR(S): Tani, Junichi; Yamada, Yoshihisa; Ochiai, Takashi; Ishida, Ryuichi; Inoue, Ichizo; Oine, Toyonari
CORPORATE SOURCE: Res. Lab., Tanabe Seiyaku Co., Ltd., Osaka, 532, Japan

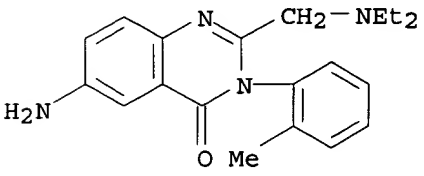
SOURCE: Chemical & Pharmaceutical Bulletin (1979), 27(11), 2675-87
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 93:26374

AB A no. of derivs. of 6-amino-2-fluorometyl-3-(o-tolyl)-4(3H)-quinazolinone (6-aminomethaqualone), a potent muscle relaxant, were prepd. and screened in terms of the loss of righting reflex test and the rotating rod test in mice. Several derivs. with addnl. F substitution or with repositioning of the F atom exhibited high activities. Other structural modification included acylation, carbamoylation, and alkoxycarbonylation of the 6-amino group, hydroxylation at the 3-tolyl group, and replacement of the F atom at the 2-fluoromethyl group by O, N and S nucleophiles; these modification all resulted in loss of activity.

IT **73832-37-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and antidepressant activity of)

RN 73832-37-8 CAPLUS

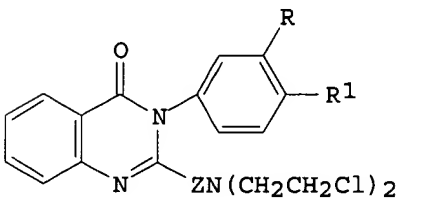
CN 4(3H)-Quinazolinone, 6-amino-2-[(diethylamino)methyl]-3-(2-methylphenyl)-(9CI) (CA INDEX NAME)



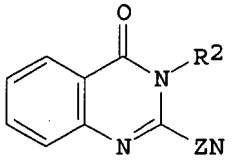
L3 ANSWER 45 OF 54 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1980:58712 CAPLUS
DOCUMENT NUMBER: 92:58712
TITLE: Study in nitrogen mustards, Part III. Synthesis of some 2-alkyl-3-aryl-4 (3H)-quinazolinone derivatives with nitrogen mustard moiety as possible antitumor agents
AUTHOR(S): Singh, Pritpal; Gupta, I. S.
CORPORATE SOURCE: Dep. Chem. Eng. Technol., Panjab Univ., Chandigarh, 160 014, India
SOURCE: Journal of the Indian Chemical Society (1979), 56(1), 77-80
CODEN: JICSAH; ISSN: 0019-4522
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 92:58712

GI



I



II

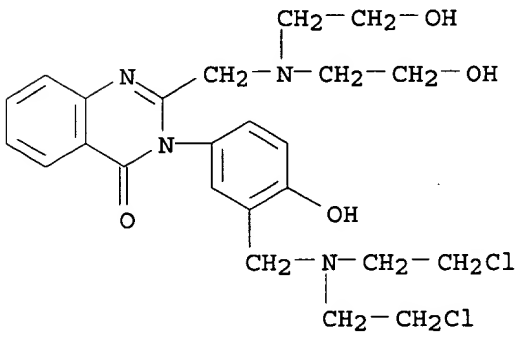
09/ 724,941

AB Title quinazolinones I [Z = (CH2)n (n = 0-2), CHMe; R = e.g. CH2N(CH2CH2OH)2, CH2NHCH2CH2Br; R1 = OH, OMe, OEt] (32 compds.) and II [Z = (CH2)n (n = 1, 2), CHMe; R2 = CH2CH2N(CH2CH2X)2 (X = Br, Cl, OH), SO2C6H4N(CH2CH2Cl)2] (10 compds.) were prepd. from N-acyl anthranilates by condensing with anilines or hydrazides, resp. I and II contain mono or bifunctional nitrogen mustard groups attached to the quinazoline through an enzymatically-hydrolyzable linkage; they showed relatively low toxicity.

IT **72544-40-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and chlorination of)

RN 72544-40-2 CAPLUS

CN 4(3H)-Quinazolinone, 3-[3-[[bis(2-chloroethyl)amino]methyl]-4-hydroxyphenyl]-2-[[bis(2-hydroxyethyl)amino]methyl]- (9CI) (CA INDEX NAME)



L3 ANSWER 46 OF 54 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1980:22449 CAPLUS

DOCUMENT NUMBER: 92:22449

TITLE: Some reactions of nitrogen nucleophiles with 2-(bromomethyl)-3-phenyl-4(3H)-quinazolinone

AUTHOR(S): Kirmani, M. Z.; Ahmed, Mrs. S. R.

CORPORATE SOURCE: Dep. Chem., Indian Inst. Technol., New Delhi, 110029, India

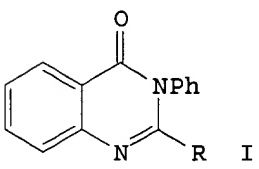
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1979), 18B(1), 22-4
CODEN: IJSBDB; ISSN: 0376-4699

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 92:22449

GI



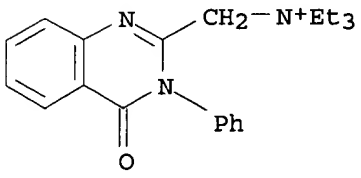
AB Treating quinazolinone I (R = CH2Br), which does not undergo ring expansion, with pyridine, quinoline, or Et3N gave the corresponding quaternary bromides, whereas using primary and secondary amines gave I [R

= CH2R1 (R1 = NHPh, NHC6H4OMe-4, cyclohexylamino, piperidino, morpholino, NHC6H4OEt-4, NHC6H4Me-2)]. Also prepd. were I (R = CH:NOH, CH:NNHPh).

IT **72235-15-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 72235-15-5 CAPLUS

CN 2-Quinazolinemethanaminium, N,N,N-triethyl-3,4-dihydro-4-oxo-3-phenyl-, bromide (9CI) (CA INDEX NAME)



L3 ANSWER 47 OF 54 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1977:601459 CAPLUS

DOCUMENT NUMBER: 87:201459

TITLE: New 3-aminoquinazolinones

AUTHOR(S): Sauter, Fritz; Stanetty, Peter; Jordis, Ulrich

CORPORATE SOURCE: Inst. Org. Chem., Tech. Univ. Wien, Vienna, Austria

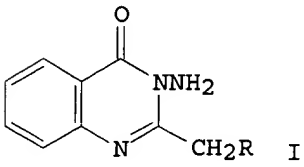
SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1977), 310(8), 680-2
CODEN: ARPMAS; ISSN: 0365-6233

DOCUMENT TYPE: Journal

LANGUAGE: German

OTHER SOURCE(S): CASREACT 87:201459

GI

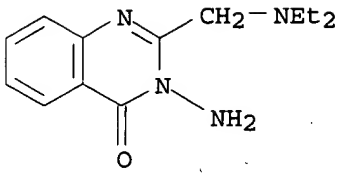


AB Aminoquinazolinones I (R = NEt2, piperidino, 2,6-dimethylpiperidino, morpholino, 4-methyl-1-piperazinyl) were obtained in 47-98% yield by treating 2-MeO2CC6H4NHCOCH2R (II: R as above) with N2H4. II (R = amino) were obtained by chloroacetylating Me anthranilate, iodinating II (R = Cl), and aminating II (R = I).

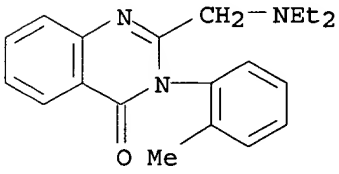
IT **64689-30-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 64689-30-1 CAPLUS

CN 4(3H)-Quinazolinone, 3-amino-2-[(diethylamino)methyl]- (9CI) (CA INDEX NAME)

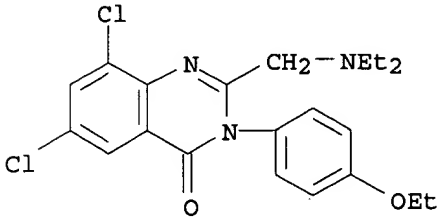


L3 ANSWER 48 OF 54 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1974:403874 CAPLUS
DOCUMENT NUMBER: 81:3874
TITLE: Methaqualone metabolites. Synthesis of two hydroxymethyl analogs of methaqualone, a quinazoline-benzodiazepine rearrangement
AUTHOR(S): Bogentoft, Conny; Ericcson, Orjan; Danielsson, Bengt
CORPORATE SOURCE: Fac. Pharm., Univ. Uppsala, Uppsala, Swed.
SOURCE: Acta Pharmaceutica Suecica (1974), 11(1), 59-66
CODEN: APSXAS; ISSN: 0001-6675
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB Treating N-(acetoxyacetyl)anthranilic acid with o-toluidine in the presence of PCl3 followed by HCl hydrolysis gave 75% the methaquinone I (R = OH, R1 = Me). Redn. of I (R = H, R1 = CO2Et) with LiAlH4 in ether gave 58% I (R = H, R1 = CH2OH).
IT **52589-78-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 52589-78-3 CAPLUS
CN 4(3H)-Quinazolinone, 2-[(diethylamino)methyl]-3-(2-methylphenyl)- (9CI) (CA INDEX NAME)



L3 ANSWER 49 OF 54 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1971:449019 CAPLUS
DOCUMENT NUMBER: 75:49019
TITLE: Relation between the chemical structure and pharmacological action of new 4-quinazolone compounds
AUTHOR(S): Grishina, V. M.; Karavaeva, E. G.
CORPORATE SOURCE: USSR
SOURCE: Trudy Permskogo Farmatsevticheskogo Instituta (1969), No. 3, 55-60
CODEN: TPFIAP
DOCUMENT TYPE: Journal
LANGUAGE: Russian
AB Pharmacol. properties of 2-(ethoxycarbonyl)-3-aryl-4-quinazolones were examd. Compds. with aryl = o-MeC6H4, o = ClC6H4, or o-BrC6H4 had hypnotic properties, the last 2 being spasmolytic and analgetic also.
IT **24680-08-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 24680-08-8 CAPLUS

CN 4(3H)-Quinazolinone, 6,8-dichloro-2-[(diethylamino)methyl]-3-(p-ethoxyphenyl)- (8CI) (CA INDEX NAME)



L3 ANSWER 50 OF 54 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1970:520669 CAPLUS
DOCUMENT NUMBER: 73:120669
TITLE: 4-Quinazolinone-2-carboxylic acid, its salts, esters, and other derivatives
PATENT ASSIGNEE(S): Ferlux
SOURCE: Fr., 7 pp.
CODEN: FRXXAK
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

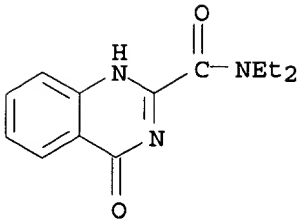
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 1584579	A	19691226	FR 1968-158418	19680709
DE 1932455	A	19700910	DE 1969-1932455	19690626
CH 518289	A	19720131	CH 1969-518289	19690627
BE 735805	A	19700108	BE 1969-735805	19690708
NL 6910451	A	19700113	NL 1969-10451	19690708
ES 369518	A1	19710716	ES 1969-369518	19690708

PRIORITY APPLN. INFO.: FR 1968-158417 19680709
FR 1968-158418 19680709

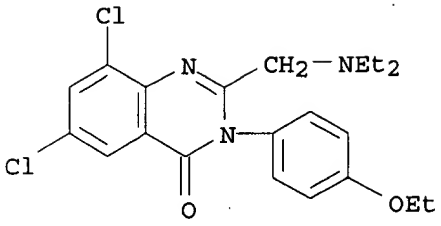
GI For diagram(s), see printed CA Issue.

AB The title compds. (I) were prepd. via the intermediate esters obtained by condensation of an anthranilamide with an oxalate. Thus, o-H2NC6H4CONH2 and (CO2Et)2 was stirred 6 hr at 170-80.degree. and treated with hot abs. alc. at 75-80.degree. to give 81% I (R = Et, R' = H) (II). Treatment of II with 5% NaOH and acidification with HCl gave I (R = R' = H) (III). III and N-methylpiperazine was refluxed 2 hr in abs. alc. to give 65% I (R = N-methylpiperazino, R' = H). Similarly obtained were I [R' = H, R = NEt2, N(Ph)Et, morpholino, cyclo-C6H11(CHMe2)N, NCH2CH2CH2NH2(H11C6-cyclo), HNCHMe2]. Anhyd. MeOH contg. Na was stirred 1 hr with III to give 98% I (R = Na, R' = H).

IT **29113-36-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 29113-36-8 CAPLUS
CN 2-Quinazolinecarboxamide, N,N-diethyl-3,4-dihydro-4-oxo- (8CI) (CA INDEX NAME)



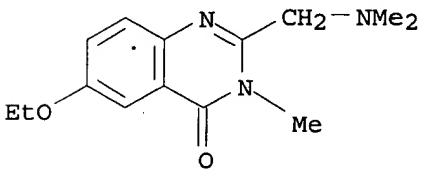
L3 ANSWER 51 OF 54 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1970:31743 CAPLUS
DOCUMENT NUMBER: 72:31743
TITLE: Chemistry of heterocycles. XLVI. Synthesis and biological activity of some 2-aminomethyl-3-aryl-4-quinazolones
AUTHOR(S): Kozhevnikov, Yu. V.; Petyunin, P. A.
CORPORATE SOURCE: Khar'kov. Farm. Inst., Kharkov, USSR
SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1969), (4), 747-9
CODEN: KGSSAQ; ISSN: 0132-6244
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI For diagram(s), see printed CA Issue.
AB To EtMgI (obtained from 37.5 g EtI and 5.76 Mg in 90 ml Et2O) was added 15.3 g 4-chloroaniline and the mixt. heated 30 min, treated with 11. 5 g Me 5-chloroanthranilate in 20 ml Et2O, and the whole refluxed 30 min and treated with a soln. of NH4Cl to yield 60% 5-chloroanthranilic acid 4'-chloroanilide (I), m. 172-3.degree. (EtOH). Similarly was obtained 83.5% 3,5-dichloroanthranilic acid 4'-phenetidide (II), m. 196-7.degree. (EtOH). I and ClCH2COCl in AcOH gave 71% III (R1 = Cl, R2 = H, R3 = 4-ClC6H4, R4 = Cl), m. 182-3.degree. (EtOH). Similarly, II gave 50% III (R1 = R2 = R4 = Cl, R3 = 4-EtOC6H4), m. 165-6.degree. (EtOH). III (R1 = R2 = H, R3 = R4 = Cl) (3.05 g) and 1.7 g piperidine in 30 ml C6H6 was refluxed 2 hr to yield 85.2% III (R1 = R2 = H, R3 = Cl, R4 = piperidino), m. 137-8.degree. (EtOH), HCl salt m. 236-7.degree.; picrate m. 204-5.degree.. Similarly were prepd. the following III (R1, R2, R3, R4, m.p., m.p. HCl salt, m.p. picrate, and % yield given): H, H, 4-ClC6H4, piperidino, 137-8.degree., 236-7.degree., 204-5.degree., 85.2; H, H, 4-ClC6H4, morpholino, 169-70.degree., 212-14.degree., 177-8.degree., 55.6; H, H, Ph, piperidino, 121-2.degree., 238.degree., 193-4.degree., 50; H, H, Ph, morpholino (IV), 145-7.degree., 248.degree., 206-7.degree., 90.3; H, H, 2-ClC6H4, NEt2, 140-1.degree., , , 66.1; H, H, 4-BrC6H4, morpholino, 165-6.degree., , , 58.8; H, H, 2,4-MeBrC6H3, piperidino, 169-70.degree., 253-4.degree., 180-1.degree., 88.2; Br, H, Ph, piperidino, 180-1.degree., 220-1.degree., 225.degree., 68.8; Cl, H, 4-ClC6H4, piperidino, 169-70.degree., 224-6.degree., 235.degree., 64.3; Cl, H, 4-ClC6H4, morpholino, 126-8.degree., 220-2.degree., 180-1.degree., 54.7; Cl, Cl, 4-EtOC6H4, NEt2, 187-9.degree., , , 71.5; Cl, Cl, 4-EtOC6H4, piperidino, 189-90.degree., , , 65.8; Cl, Cl, 4-EtOC6H4, morpholino, 175-7.degree., , , 65.3; and Br, Br, pH, piperidino, 142-3.degree., , , 50. Uv and ir data are given. The analgesic activity of the compds. was investigated and found to be highest in IV.
IT **24680-08-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 24680-08-8 CAPLUS
CN 4(3H)-Quinazolinone, 6,8-dichloro-2-[(diethylamino)methyl]-3-(p-ethoxyphenyl)- (8CI) (CA INDEX NAME)



L3 ANSWER 52 OF 54 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1969:491518 CAPLUS
DOCUMENT NUMBER: 71:91518
TITLE: Analgesic 2-(dimethylamino)methyl-3-methyl-6-ethoxy-4-quinazolone
PATENT ASSIGNEE(S): Farbwerke Hoechst A.-G.
SOURCE: Fr. M., 3 pp.
CODEN: FMXXAJ
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 3806		19660207		
PRIORITY APPLN. INFO.:			DE	19630518
			DE	19640228

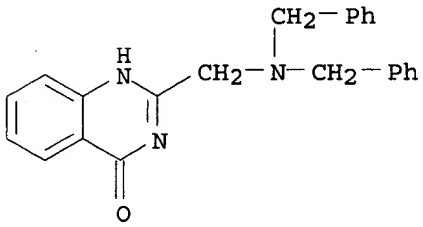
GI For diagram(s), see printed CA Issue.
AB The prepn. of I, is described. 2,5-ClCH2CO-NH(EtO)C6H3CONHMe (46 g.) was treated with an excess of an ethanolic soln. of Me2NH, and the resulting crystals were dissolved in 200 ml. EtOH and heated at reflux with 100 ml. 2N NaOH to give I, m. 95.5-6.5.degree.. I.HCl, m. 215-16.degree. (decompn.). LD50 1-2 g./kg. (oral), 960 mg./kg. (s.c.).
IT **2874-03-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 2874-03-5 CAPLUS
CN 4(3H)-Quinazolinone, 2-[(dimethylamino)methyl]-6-ethoxy-3-methyl- (8CI) (CA INDEX NAME)



L3 ANSWER 53 OF 54 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1968:427405 CAPLUS
DOCUMENT NUMBER: 69:27405
TITLE: Drugs acting on the central nervous system. Syntheses of substituted quinazolinones and quinazolines and triazepino- and triazocinoquinazolinones
AUTHOR(S): Gupta, C. M.; Bhaduri, A. P.; Khanna, N. M.
CORPORATE SOURCE: Div. Med. Chem., Cent. Drug Res. Inst., Lucknow, India
SOURCE: Journal of Medicinal Chemistry (1968), 11(2), 392-5
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal

09/ 724,941

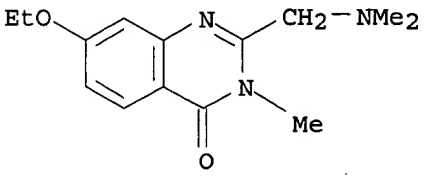
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB 2,3-Disubstituted 4-quinazolinones, 2,4-disubstituted quinazolines, and 5H-2,3-disubstituted triazepino[1,4,5] [2,1-b]-quinazolin-11-ones (I) (R = 2-furyl, Ph, Me, and p-MeOC6H4) are prepd. and tested for toxicity and anticonvulsant activity in mice. Of the 48 compds. prepd. and tested, only 2-ethylthio-4-quinazolone and 2,4-bis(dibenzylamino)quinazoline gave protection against max. electroshock, 3 other compds. showed slight activity, and the remainder were inactive.
IT **19062-55-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 19062-55-6 CAPLUS
CN 4(3H)-Quinazolinone, 2-[(dibenzylamino)methyl]- (8CI) (CA INDEX NAME)



L3 ANSWER 54 OF 54 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1965:91000 CAPLUS
DOCUMENT NUMBER: 62:91000
ORIGINAL REFERENCE NO.: 62:16269a-g
TITLE: 4(3H)-Quinazolinones
PATENT ASSIGNEE(S): Farbwerke Hoechst A.-G.
SOURCE: 18 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NL 6405448		19641119	NL	
PRIORITY APPLN. INFO.:			DE	19630518

GI For diagram(s), see printed CA Issue.
AB I, analgesics and sedatives, are readily prepd. by treatment of an o-chloroalkylamidobenzamide with a secondary amine at high temps. and by the pyrrolic or alk. condensation of an o-aminoalkylamidobenzamide. Accordingly, I [n = 1 R1 = Me, (R2R3 =) (CH2)2NMe(CH2)2, R4 = 6-Cl] (II), m. 158.5-9.5.degree. (Me2CO), was obtained by heating at 225-30.degree. for 30 min. N-methyl-5-chloro-2-(N-methylpiperazinoacetamido)benzamide, prepd. by the treatment of N-methyl-5-chloro-2-chloroacetamidobenzamide with an excess of N-methylpiperazine. II.2HCl, decomp. 260.degree. , was prepd. by the addn. of alc. HCl to II in MeOH. I(n = 1, R1 = R2 = R3 = Me, R4 = 6-Cl), m. 91.5-5.5.degree. (HCl salt decomp. 257.degree.), was obtained by refluxing 7 g. N-methyl-5-chloro-2-dimethylaminoacetamidobenzamide in 52 mL. EtOH after the addn. of 26 mL. 2N aq. NaOH for 20 min. Similarly, the tabulated I were also prepd.
IT **2854-61-7**, 4(3H)-Quinazolinone, 2-[(dimethylamino)methyl]-7-ethoxy-3-methyl-
(prepn. of)
RN 2854-61-7 CAPLUS
CN 4(3H)-Quinazolinone, 2-[(dimethylamino)methyl]-7-ethoxy-3-methyl- (7CI, 9CI) (CA INDEX NAME)

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L3            54 S L2

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FULL ESTIMATED COST                                   246.20     394.96

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                      ENTRY    SESSION
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